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=> d his

     (FILE 'HOME' ENTERED AT 15:22:22 ON 14 MAR 2005)

     FILE 'REGISTRY' ENTERED AT 15:22:30 ON 14 MAR 2005
L1             STRUCTURE UPLOADED
L2              48 S L1
L3            2271 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 15:25:11 ON 14 MAR 2005
L4             611 S L3
L5              36 S L4 AND (CARDIOVASCULAR OR DIABETES OR HYPERGLYCEMIA)

=> d que l5 stat
L1             STR
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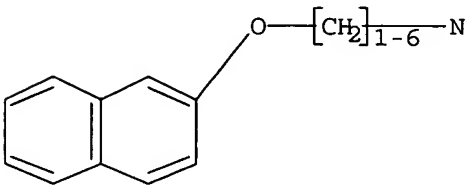


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Structure attributes must be viewed using STN Express query preparation.
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L4             611 SEA FILE=CAPLUS ABB=ON  PLU=ON  L3
L5              36 SEA FILE=CAPLUS ABB=ON  PLU=ON  L4 AND (CARDIOVASCULAR OR
                   DIABETES OR HYPERGLYCEMIA)


=> d 1-36 bib abs hitstr
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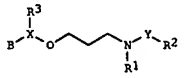
L5 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:927049 CAPLUS
DN 141:379940
TI A preparation of hydroxypropylamine derivatives, useful as modulators of peroxisome proliferator activated receptors (PPARs)
IN Liu, Kevin; Zhao, Cunxiang
PA Kalypsys, Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004093879	A1	20041104	WO 2004-US10970	20040407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2003-464581P	P	20030417		

OS MARPAT 141:379940
GI



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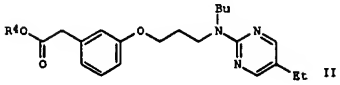

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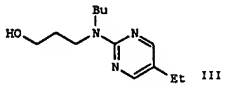
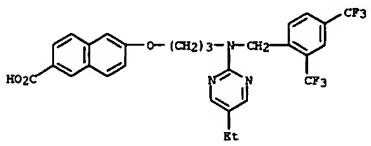


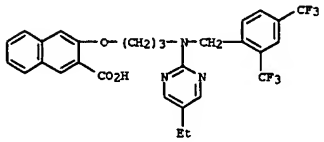
III

AB The invention relates to a preparation of hydroxypropylamine derivs. of formula

L5 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
I (wherein: X is (mono/bi/tri)cyclic aryl ring; Y is (mono/bi)cyclic heteroarom. ring; B is 5-6-membered heteroaryl ring or (CH2)0-1C(O)-O-(H/alkyl/heteroaryl); R1 is alkyl or 5-6-membered heteroaryl; R2 is H, alkyl, CN, NO2, or 5-6-membered heteroaryl, etc.; R3 is H, alkyl, halogen, OH, or amino, etc.], useful as modulators of peroxisome proliferator activated receptors (PPARs). The disclosed compds. are useful for the treatment of metabolic diseases such as obesity, diabetes, polycystic ovary syndrome, or climacteric, etc. For instance, pyrimidine deriv. II (R4 = H; hPPAR α, EC50 > 100 μM; hPPAR γ, EC50 < 1μM) was prepd. via etherification from Me (3-hydroxyphenyl)acetate and (pyrimidinylamino)propanol deriv. III and subsequent hydrolysis of the obtained ester II (R4 = Me).
IT 784174-99-8P 784175-00-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxypropylamine derivs., useful as modulators of PPARs)
RN 784174-99-8 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5-ethyl-2-pyrimidinyl)amino]propoxy]- (9CI) (CA INDEX NAME)



RN 784175-00-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5-ethyl-2-pyrimidinyl)amino]propoxy]- (9CI) (CA INDEX NAME)



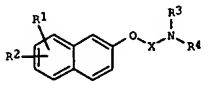
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicant

L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:802559 CAPLUS
DN 141:295752
TI A preparation of (aminoalkoxy)naphthalene derivatives, useful as antidiabetic agents
IN Chaturvedi, Devdutt; Kumar, Atul; Rastogi, Reema; Srivastava, Arvind; Tewari, Priti; Ahmad, Rehan; Chander, Ramesh; Puri, Anju; Bhatia, Geetika; Rizvi, Farhan; Rastogi, Anil Kumar; Ray, Suprabhat
PA Council of Scientific & Industrial Research, India
SO U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004192688	A1	20040930	US 2003-693098	20031027
PRAI US 2003-458413P	P	20030331		

OS CASREACT 141:295752; MARPAT 141:295752
GI



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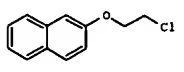
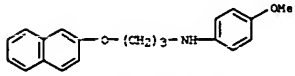


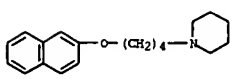
II

AB The invention relates to a preparation of (aminoalkoxy)naphthalene derivs. of
formula I [wherein: R1 and R2 are independently selected from H or (cyclo)alkyl; R3 and R4 are independently selected from H, (cyclo)alkyl, or (hetero)aryl, etc.; X is (CH2)1-6], useful as antihyperglycemic agents and for the treatment and prevention of cardiovascular disorders (CVS) such as lipid lowering effects. The prepared naphthalene derivs. were screened for antidiabetic, hypoglycemic, and lipid lowering activities. For instance, prepared 2-(naphthyloxy)-1-chloroethane derivative (II) decreased
glucose load by 33.6%. Treatment with compound II lowered the plasma levels of cholesterol, phospholipid, and triglyceride by 26%, 33%, and 28%, resp.
IT 765316-05-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (aminoalkoxy)naphthalene derivs., useful as agents for treatment or prophylaxis of diabetes and related metabolic disorders)
RN 765316-05-0 CAPLUS
CN Benzenamine, 4-methoxy-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

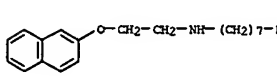
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



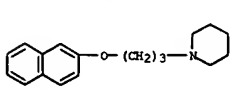
IT 53669-89-9P 138309-90-7P 157129-78-7P
356533-10-3P 356533-12-5P 356533-39-6P
356533-45-4P 410774-55-7P 410785-63-4P
765316-06-1P 765316-07-2P 765316-08-3P
765316-10-7P 765316-11-8P 765316-12-9P
765316-13-0P 765316-14-1P 765316-15-2P
765316-16-3P 765316-17-4P 765316-18-5P
765316-19-6P 765316-20-9P 765316-21-0P
765316-22-1P 765316-23-2P 765316-24-3P
765316-25-4P 765316-26-5P 765316-27-6P
765316-28-7P 765316-29-8P 765316-30-1P
765316-31-2P 765316-32-3P 765316-33-4P
765316-34-5P 765316-35-6P 765316-36-7P
765316-37-8P 765316-38-9P 765316-39-0P
765316-40-3P 765316-42-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (aminoalkoxy)naphthalene derivs., useful as agents for treatment or prophylaxis of diabetes and related metabolic disorders)
RN 53669-89-9 CAPLUS
CN Piperidine, 1-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



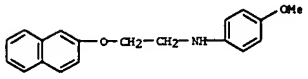
RN 138309-90-7 CAPLUS
CN 1-Octanamine, N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



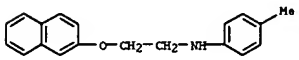
RN 157129-78-7 CAPLUS
CN Piperidine, 1-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



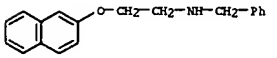
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 356533-10-3 CAPLUS
CN Benzenamine, 4-methoxy-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



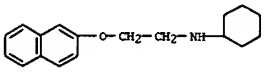
RN 356533-12-5 CAPLUS
CN Benzenamine, 4-methyl-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



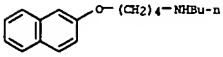
RN 356533-39-6 CAPLUS
CN Benzenemethanamine, N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 356533-45-4 CAPLUS
CN Cyclohexanamine, N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

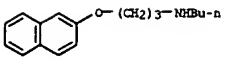


RN 418774-55-7 CAPLUS
CN 1-Butanamine, N-butyl-4-(2-naphthalenyloxy)- (9CI) (CA INDEX NAME)

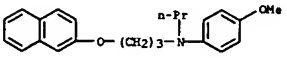


RN 418785-63-4 CAPLUS
CN 1-Butanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

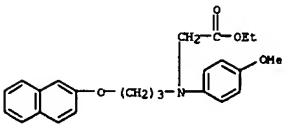
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



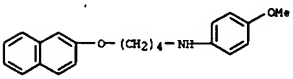
RN 765316-06-1 CAPLUS
CN Benzenamine, 4-methoxy-N-[3-(2-naphthalenyloxy)propyl]-N-propyl- (9CI) (CA INDEX NAME)



RN 765316-07-2 CAPLUS
CN Glycine, N-(4-methoxyphenyl)-N-[3-(2-naphthalenyloxy)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

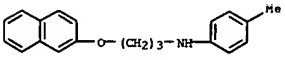


RN 765316-08-3 CAPLUS
CN Benzenamine, 4-methoxy-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

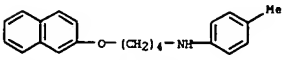


RN 765316-10-7 CAPLUS
CN Benzenamine, 4-methyl-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

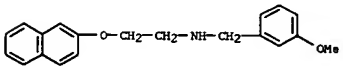
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



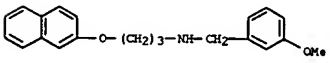
RN 765316-11-8 CAPLUS
CN Benzenamine, 4-methyl-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



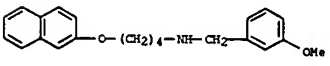
RN 765316-12-9 CAPLUS
CN Benzenemethanamine, 3-methoxy-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 765316-13-0 CAPLUS
CN Benzenemethanamine, 3-methoxy-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

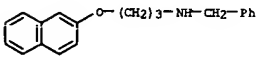


RN 765316-14-1 CAPLUS
CN Benzenemethanamine, 3-methoxy-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



RN 765316-15-2 CAPLUS
CN Benzenemethanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 765316-16-3 CAPLUS
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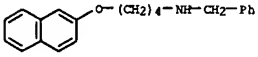
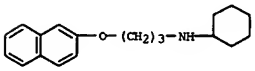
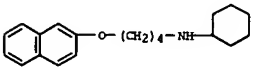


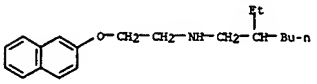
RN 765316-17-4 CAPLUS
CN Cyclohexanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



RN 765316-18-5 CAPLUS
CN Cyclohexanamine, N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

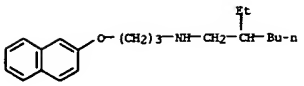


RN 765316-19-6 CAPLUS
CN 1-Hexanamine, 2-ethyl-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

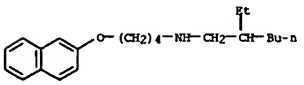


RN 765316-20-9 CAPLUS
CN 1-Hexanamine, 2-ethyl-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

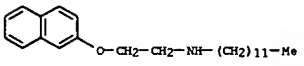
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



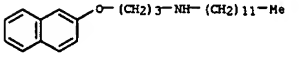
RN 765316-21-0 CAPLUS
CN 1-Hexanamine, 2-ethyl-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



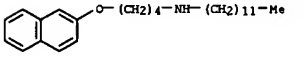
RN 765316-22-1 CAPLUS
CN 1-Dodecanamine, N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 765316-23-2 CAPLUS
CN 1-Dodecanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

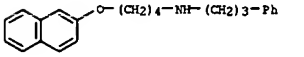


RN 765316-24-3 CAPLUS
CN 1-Dodecanamine, N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

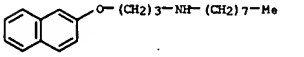


RN 765316-25-4 CAPLUS
CN 1-Propanamine, 2-methyl-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

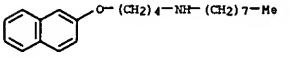
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



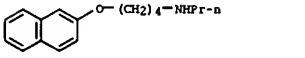
RN 765316-31-2 CAPLUS
CN 1-Octanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



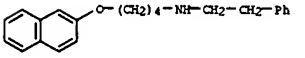
RN 765316-32-3 CAPLUS
CN 1-Octanamine, N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



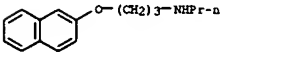
RN 765316-33-4 CAPLUS
CN 1-Butanamine, 4-(2-naphthalenyloxy)-N-propyl- (9CI) (CA INDEX NAME)



RN 765316-34-5 CAPLUS
CN Benzeneethanamine, N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

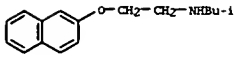


RN 765316-35-6 CAPLUS
CN 1-Propanamine, 3-(2-naphthalenyloxy)-N-propyl- (9CI) (CA INDEX NAME)

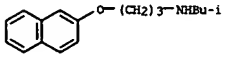


RN 765316-36-7 CAPLUS
CN Benzeneethanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

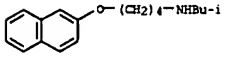
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



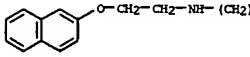
RN 765316-26-5 CAPLUS
CN 1-Propanamine, 2-methyl-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



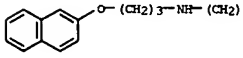
RN 765316-27-6 CAPLUS
CN 1-Butanamine, N-(2-methylpropyl)-4-(2-naphthalenyloxy)- (9CI) (CA INDEX NAME)



RN 765316-28-7 CAPLUS
CN Benzenepropanamine, N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

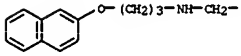


RN 765316-29-8 CAPLUS
CN Benzenepropanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

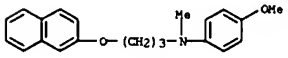


RN 765316-30-1 CAPLUS
CN Benzenepropanamine, N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

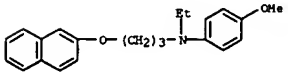
L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



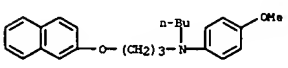
RN 765316-37-8 CAPLUS
CN Benzenamine, 4-methoxy-N-methyl-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



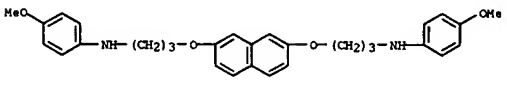
RN 765316-38-9 CAPLUS
CN Benzenamine, N-ethyl-4-methoxy-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



RN 765316-39-0 CAPLUS
CN Benzenamine, N-butyl-4-methoxy-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

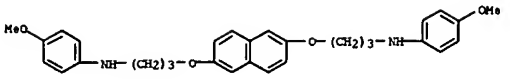


RN 765316-40-3 CAPLUS
CN Benzenamine, N,N'-[2,7-naphthalenediylbis(oxy-3,1-propanediyl)]bis[4-methoxy- (9CI) (CA INDEX NAME)



RN 765316-42-5 CAPLUS
CN Benzenamine, N,N'-[2,6-naphthalenediylbis(oxy-3,1-propanediyl)]bis[4-methoxy- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L5 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:430743 CAPLUS
DN 141:7138
TI Preparation of bicyclic-substituted amines as histamine-3 receptor ligands
IN Altenbach, Robert J.; Black, Lawrence A.; Chang, Sou-Jen; Cowart, Marlon D.; Faghih, Ramin; Gfesser, Gregory A.; Ku, Yi-Yin; Liu, Huaqing; Lukin, Kirill A.; Nersesian, Diana L.; Pu, Yu-Ming; Sharma, Padam N.; Bennani, Youssef L.; Curtis, Michael P.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

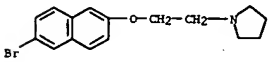
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043458	A1	20040527	WO 2003-US35365	20031105
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004092521	A1	20040513	US 2002-292422	20021112
	US 2004152704	A1	20040805	US 2003-689735	20031022
PRAI	US 2002-292422	A	20021112		
	US 2002-425376P	P	20021112		
	US 2003-689735	A	20031022		

OS MARPAT 141:7138
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

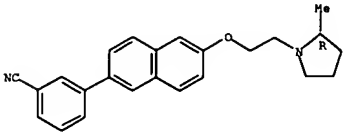
AB Title compds. I [wherein Y, and B = independently CH, CF, or N; X, A, Z, and C = independently C or N; one of R1 and R2 = halo, CN, aryl, aryloxy, etc.; the other of R1 and R2 = H, cyclo/alkyl, thio/alkoxy, aryl, halo, CN, provided that R2 is absent when C = N; R3 = H, alkyl, alkoxy, absent, etc.; R = absent, H, halo, Me, alkoxy, or CN; R6 = absent, H, alkyl, thio/alkoxy, halo, OH, CN; R4 and R5 = independently alkyl, haloalkyl, hydroxyalkyl, or NR4R5 = (un)1-pyrrolidinyl, 1-piperidinyl, 1-morpholinyl, etc.; L = (un)substituted alkylene or -alkylene-O-; their pharmaceutically acceptable salts, esters, amides, or prodrugs] were prepared as histamine-3 receptor ligands. For example, quinoxaline II was prepared in 6 steps via cyclocondensation of benzenediamine III with glyoxal in EtOH, followed by reaction with oxo(phenyl)acetaldehyde. Selected I showed binding affinities of 0.12 to 20 nM towards histamine-3 receptors in rats. I are useful for the treatment of memory disorder, cognition disorder, obesity, etc. (no data).
IT **195530-26-8P 689291-39-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

L5 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate, intermediate; prepn. of bicyclic-substituted derivs. as histamine-3 receptor ligands)
RN 195530-26-8 CAPLUS
CN Pyrrolidine, 1-[2-[(6-bromo-2-naphthalenyl)oxy]ethyl]- (9CI) (CA INDEX NAME)

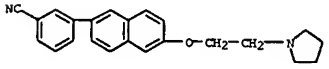


RN 689291-39-2 CAPLUS
CN Benzonitrile, 3-[6-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

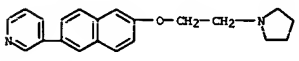


IT **689291-37-0P 689291-38-1P 689291-41-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicyclic-substituted derivs. as histamine-3
receptor ligands)
RN 689291-37-0 CAPLUS
CN Benzonitrile, 3-[6-[2-(1-pyrrolidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



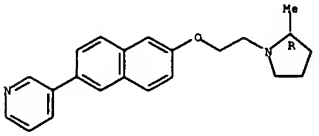
RN 689291-38-1 CAPLUS
CN Pyridine, 3-[6-[2-(1-pyrrolidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



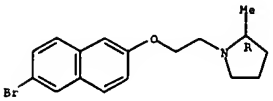
RN 689291-41-6 CAPLUS
CN Pyridine, 3-[6-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **689291-40-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicyclic-substituted derivs. as histamine-3 receptor ligands)
RN 689291-40-5 CAPLUS
CN Pyrrolidine, 1-[2-[(6-bromo-2-naphthalenyl)oxy]ethyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

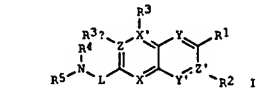
Absolute stereochemistry.



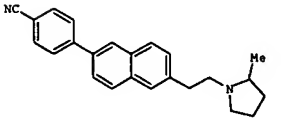
L5 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:392320 CAPLUS
DN 140:406732
TI Preparation of pyrrolidine derivatives as histamine-3 receptor ligands
IN Altenbach, Robert J.; Black, Lawrence A.; Chang, Sou-jen; Cowart, Marlon D.; Faghih, Ramin; Gfesser, Gregory A.; Ku, Yi-yin; Liu, Huaqing; Lukin, Kirill A.; Nersesian, Diana L.; Pu, Yu-ming; Sharma, Padam N.; Bennani, Youssef L.
PA USA
SO U.S. Pat. Appl. Publ., 55 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004092521	A1	20040513	US 2002-292422	20021112
WO 2004043458	A1	20040527	WO 2003-US35365	20031105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2002-292422	A	20021112		
US 2002-425376P	P	20021112		
US 2003-689735	A	20031022		

OS MARPAT 140:406732
GI



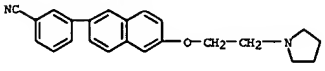
I



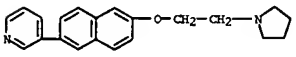
II

AB The title compds. I [wherein X, Y, and Y' = independently CH, CF, or N; X', Z, and Z' = independently C or N; R1 and R2 = independently halo, CN, H, alkyl, alkoxy, etc.; R3 = H, alkyl, alkoxy, absent, etc.; R3a = absent, H, halo, Me, alkoxy, or CN; R4 and R5 = independently alkyl, haloalkyl, hydroxyalkyl, etc.; L = (un)substituted alkylene or -alkylene-O-] or pharmaceutically acceptable salts, esters, amides, or prodrugs thereof are prepd. as histamine-3 receptor ligands. For example, the compd. II was prepd. in a multi-step synthesis. Some of compds. I showed binding affinities of 0.12 to 20 nM towards histamine-3 receptors in rat. I are useful for the treatment of memory disorder, cognition disorder, obesity, etc. (no data).

L5 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

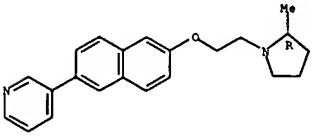


RN 689291-38-1 CAPLUS
CN Pyridine, 3-[6-[2-(1-pyrrolidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



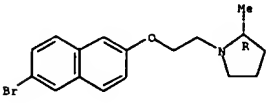
RN 689291-41-6 CAPLUS
CN Pyridine, 3-[6-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



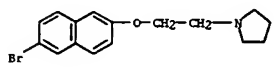
IT 689291-40-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolidine derivs. as histamine-3 receptor ligands)
RN 689291-40-5 CAPLUS
CN Pyrrolidine, 1-[2-[(6-bromo-2-naphthalenyl)oxy]ethyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



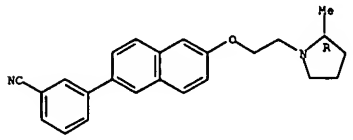
L5 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 195530-26-8P 689291-39-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate, intermediate; preparation of pyrrolidine derivs. as histamine-3 receptor ligands)
RN 195530-26-8 CAPLUS
CN Pyrrolidine, 1-[2-[(6-bromo-2-naphthalenyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 689291-39-2 CAPLUS
CN Benzonitrile, 3-[6-[2-[(2R)-2-methyl-1-pyrrolidinyl]ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

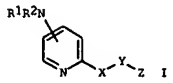


IT 689291-37-0P 689291-38-1P 689291-41-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolidine derivs. as histamine-3 receptor ligands)
RN 689291-37-0 CAPLUS
CN Benzonitrile, 3-[6-[2-(1-pyrrolidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:41266 CAPLUS
DN 140:93932
TI Preparation of pyridine-2,4-diamines as delta opioid receptor modulators
IN Dondio, Giulio; Macacchini, Silvia; Raveglia, Luca Francesco
PA Glaxosmithkline S.p.A., Italy
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004004715	A2	20040115	WO 2003-EP7400	20030708
WO 2004004715	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI GB 2002-15867	A	20020709		

OS MARPAT 140:93932
GI

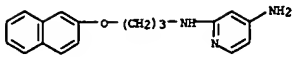


I

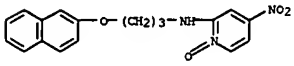
AB The title compds. [I; R1 = H, alkyl (with the proviso that when R1 = alkyl, then NR1R2 is located at 4 position of the pyridine ring); R2 = H; X = NH(CH2)3, NMe(CH2)3, NH(CH2)2O(CH2)2, etc.; Y = O, S, (un)substituted NH; Z = (un)substituted aryl, heteroaryl], useful for the modulation of conditions associated with the delta opioid receptor, were prepared Thus, reducing 4-nitro-2-(3-[(quinolin-6-yloxy)propyl]amino)-1-oxypyridine afforded 4-amino-2-(3-[(quinolin-6-yloxy)propyl]amino)pyridine. The most potent compds. I showed affinities for the delta receptor ranging from 3 to 300 nM. Pharmaceutical composition comprising the compound I is claimed.

IT 643743-55-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine-2,4-diamines as delta opioid receptor modulators)
RN 643743-55-9 CAPLUS
CN 2,4-Pyridinediamine, N2-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



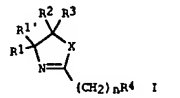
IT 643744-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridine-2,4-diamines as delta opioid receptor modulators)
RN 643744-14-3 CAPLUS
CN 2-Pyridinamine, N-[3-(2-naphthalenyloxy)propyl]-4-nitro-, 1-oxide (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:41121 CAPLUS
DN 140:94045
TI Preparation of hypoglycemic imidazoline compounds
IN Takeuchi, Kumiko; Jirousek, Michael Robert; Paal, Michael; Ruhter, Gerd; Schotten, Theo
PA USA
SO U.S. Pat. Appl. Publ., 106 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

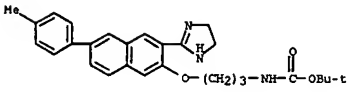
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004009976	A1	20040115	US 2002-135963	20020430
PRAI US 2002-135963		20020430		

OS MARPAT 140:94045
GI

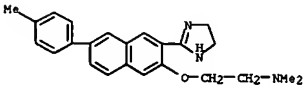


AB The title compds. I [X = O, S, NR5 with R5 = H, alkyl, protecting group; R1, R1', R2, R3 = H, alkyl; R1 and R2 form a bond and R1' and R3 are H, alkyl; or R1 and R2 form a carbocyclic ring; R4 = (un)substituted indolyl, naphthyl, quinolinyl, etc.; n = 0-2], useful for treating diabetes, diabetic complications, metabolic disorders or related diseases where impaired glucose disposal is present, were prepared and formulated. E.g., preparation of 5-chloro-2-methyl-3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indole is described.
IT 227798-26-7P 227798-28-9P 227798-33-6P 227803-40-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypoglycemic imidazolines)
RN 227798-26-7 CAPLUS
CN Carbamic acid, [3-[[3-(4,5-dihydro-1H-imidazol-2-yl)-6-(4-methylphenyl)-2-naphthalenyl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 227798-28-9 CAPLUS
CN Ethanamine, 2-[[3-(4,5-dihydro-1H-imidazol-2-yl)-6-(4-methylphenyl)-2-naphthalenyl]oxy]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

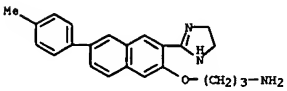


●2 HCl

RN 227798-33-6 CAPLUS
CN 1-Propanamine, 3-[[3-(4,5-dihydro-1H-imidazol-2-yl)-6-(4-methylphenyl)-2-naphthalenyl]oxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 227798-32-5
CMF C23 H25 N3 O

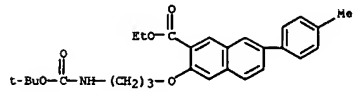


CM 2

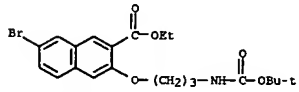
CRN 76-05-1
CMF C2 H F3 O2

RN 227803-40-9 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[3-[[(1,1-dimethylethoxy)carbonyl]amino]pr

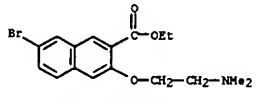
L5 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
opoxy]-7-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



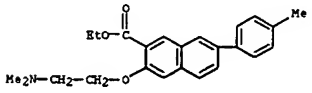
IT 227802-41-7P 227803-09-0P 227803-15-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hypoglycemic imidazolines)
RN 227802-41-7 CAPLUS
CN 2-Naphthalenecarboxylic acid, 7-bromo-3-[3-[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 227803-09-0 CAPLUS
CN 2-Naphthalenecarboxylic acid, 7-bromo-3-[2-(dimethylamino)ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



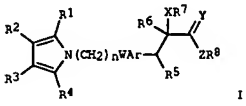
RN 227803-15-8 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[2-(dimethylamino)ethoxy]-7-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:1007858 CAPLUS
DN 140:59512
TI Preparation of pyrrolylethoxyphenylethoxypropanoates having hypolipidemic and hypocholesteremic activity
IN Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Barot, Vijay Kumar Gajubhai; Raval, Saurin Khimshanker; Raval, Preeti Saurin; Basu, Sujay
PA India
SO U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Pat. Appl. 2003 199,498.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003236254	A1	20031225	US 2002-200107	20020719
	US 2003199498	A1	20031023	US 2001-928242	20010810
	US 2004186099	A1	20040923	US 2004-790647	20040301
PRAI	IN 2001-MU711	A	20010726		
	US 2001-928242	A2	20010810		

OS MARPAT 140:59512
GI

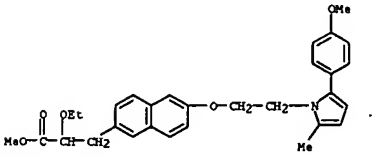


I

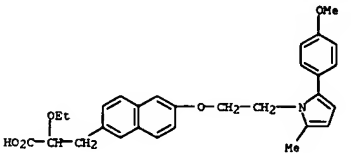
AB Title compds. [I; R1-R4 = H, haloalkyl, NO2, cyano, CHO, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclyl, heteroaryl, etc.; W = O, S, NR9; R9 = H, alkyl, aryl; Ar = (substituted) aryl, heteroaryl; R5, R6 = H, OH, alkyl, etc.; R5R6 = bond; X = O, S; R7 = H, perfluoroalkyl, (substituted) alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, acyl, etc.; R8 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, etc.; Y = O, S; Z = O, S, NR10; R10 = H, (substituted) alkyl, aryl, aralkyl, hydroxyalkyl, aminoalkyl, heteroaryl, etc.; R8R10 = atoms to form a (substituted) 5-6 membered ring; n = 2], were prepared Thus, Me 2-ethoxy-3-[6-[2-[2-(4-methoxyphenyl)-5-methylpyrrol-1-yl]ethoxy]naphthalen-2-yl]propanoate (preparation given) at 3 mg/kg day orally in mice reduced triglycerides by 26%. I may be useful in the treatment of obesity, hyperlipidemia, hypercholesteremia, syndrome X and diabetes. Pharmaceutical composition comprising the compound I is claimed.

IT 494851-79-5P 494852-02-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolylethoxyphenylethoxypropanoates having hypolipidemic

L5 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
and hypocholesteremic activity)
RN 494851-79-5 CAPLUS
CN 2-Naphthalenepropanoic acid, α-ethoxy-6-[2-[2-(4-methoxyphenyl)-5-methyl-1H-pyrrol-1-yl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



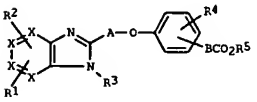
RN 494852-02-7 CAPLUS
CN 2-Naphthalenepropanoic acid, α-ethoxy-6-[2-[2-(4-methoxyphenyl)-5-methyl-1H-pyrrol-1-yl]ethoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:223754 CAPLUS
DN 138:238186
TI Preparation of imidazolylalkoxybenzoic and imidazolylalkoxyarylalkanoic derivatives for treatment of hyperglycemia-related disorders
IN Moinet, Gerard; Correc, Jean Claude; Metais, Eric
PA Lipha, Fr.
SO Fr. Demande, 102 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2829765	A1	20030321	FR 2001-11952	20010914
	WO 2003024937	A1	20030327	WO 2002-EP9832	20020903
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW		
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
PRAI	FR 2001-11952	A	20010914		

OS MARPAT 138:238186
GI

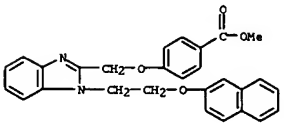


I

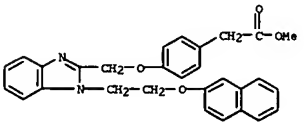
AB The invention relates to imidazolylalkoxybenzoic and imidazolylalkoxyarylalkanoic derivs. (shown as I; variables defined below; e.g. 4-(1-benzyl-5,6-dimethylbenzimidazol-2-ylmethoxy)phenylacetic acid), methods for preparing them and their use in treatment of pathologies associated with hyperglycemia. For I: X = C, N, O or S; R1, R2, R3, R4 and R5 = H, alkyl ((un)substituted C1-C20); alkylene ((un)substituted C2-C20), cycloalkyl ((un)substituted C3-C8), heterocycloalkyl ((un)substituted C3-C8), (un)substituted aryl (C6-C14) alkyl (C1-C20), (un)substituted aryl (C6-C14), (un)substituted heteroaryl (C1-C13); A = (un)substituted alkyl (C1-C6); B = simple bond or (un)substituted alkyl (C1-C6); with various provisos listed in the claims. The percentage redns. of glycemia in rats by 7 examples of I at 200 mg/kg after 4 days are 13-22 and for 4 examples of I at 20 mg/kg are 13-14; for example, 14% at 20 mg/kg for 4-(1-benzyl-5,6-dimethylbenzimidazol-2-ylmethoxy)phenylacetic acid. Two example prepns. of I are included and mass spectral characterization data are provided for .apprx.400 examples of I. For example, 3-[1-(2-chloro-4-fluorophenylmethyl)-2-benzimidazolyl]methoxyphenylacetic acid was prepared in 3 steps via the following intermediates: the sodium salt of Me 3-(2-benzimidazolyl)methoxyphenylacetate (67% from Me

L5 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-cyanomethoxybenzoate and 1,2-diaminobenzene dihydrochloride) and Me 3-[1-(2-chloro-4-fluorophenylmethyl)-2-benzimidazolyl]methoxyphenylacetate.

IT 502176-41-2P, Methyl 4-[[1-(2-((naphth-2-yl)oxy)ethyl)benzimidazol-2-yl]methoxy]benzoate 502178-11-2P, Methyl 4-[[1-(2-((naphth-2-yl)oxy)ethyl)benzimidazol-2-yl]methoxy]benzeneacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolylalkoxyarylalkanoic derivs. for treatment of hyperglycemia-related disorders)
RN 502176-41-2 CAPLUS
CN Benzoic acid, 4-[[1-[2-(2-naphthalenyloxy)ethyl]-1H-benzimidazol-2-yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 502178-11-2 CAPLUS
CN Benzeneacetic acid, 4-[[1-[2-(2-naphthalenyloxy)ethyl]-1H-benzimidazol-2-yl]methoxy]-, methyl ester (9CI) (CA INDEX NAME)

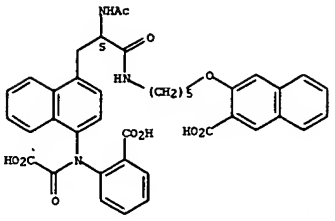


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

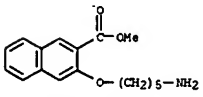
L5 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:193714 CAPLUS
DN 138:362471
TI Discovery of a Potent, Selective Protein Tyrosine Phosphatase 1B Inhibitor Using a Linked-Fragment Strategy
AU Szczepankiewicz, Bruce G.; Liu, Gang; Hajduk, Philip J.; Abad-Zapatero, Cele; Pei, Zhonghua; Xin, Zhili; Lubben, Thomas H.; Trevillyan, James M.; Stashko, Michael A.; Ballaron, Stephen J.; Liang, Heng; Huang, Flora; Hutchins, Charles W.; Fesik, Stephen W.; Jirousek, Michael R.
CS Global Pharmaceutical Research and Development Organization, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Journal of the American Chemical Society (2003), 125(14), 4087-4096
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB Protein tyrosine phosphatase 1B (PTP1B) is an enzyme that downregulates the insulin receptor. Inhibition of PTP1B is expected to improve insulin action, and the design of small mol. PTP1B inhibitors to treat type II diabetes has received considerable attention. In this work, NMR-based screening identified a nonselective competitive inhibitor of PTP1B. A second site ligand was also identified by NMR-based screening and then linked to the catalytic site ligand by rational design. X-ray data confirmed that the inhibitor bound with the catalytic site in the native, "open" conformation. The final compound displayed excellent potency and good selectivity over many other phosphatases. The modular approach to drug design described in this work should be applicable for the design of potent and selective inhibitors of other therapeutically relevant protein tyrosine phosphatases.
IT **436864-20-9P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery of potent, selective protein tyrosine phosphatase 1B inhibitor by linked-fragment strategy)
RN 436864-20-9 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[[5-[[(2S)-2-(acetylamino)-3-[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthalenyl]-1-oxopropyl]amino]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



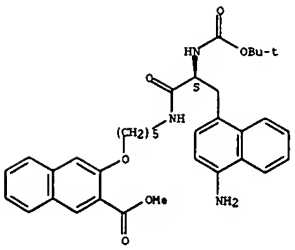
IT **521274-07-7P 521274-08-8P 521274-09-9P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(discovery of potent, selective protein tyrosine phosphatase 1B inhibitor by linked-fragment strategy)
RN 521274-07-7 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[(5-aminopentyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 521274-08-8 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[[5-[[(2S)-3-(4-amino-1-naphthalenyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]pentyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

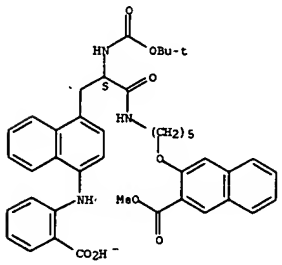
Absolute stereochemistry.

L5 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 521274-09-9 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[[5-[[(2S)-3-[4-[(2-carboxyphenyl)amino]-1-naphthalenyl]-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]pentyl]oxy]-, 2-methyl ester (9CI) (CA INDEX NAME)

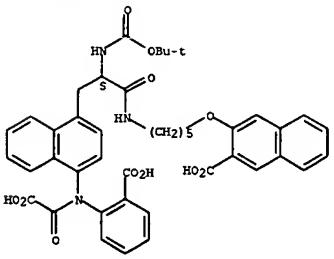
Absolute stereochemistry.



IT **521274-10-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(discovery of potent, selective protein tyrosine phosphatase 1B inhibitor by linked-fragment strategy)
RN 521274-10-2 CAPLUS
CN 2-Naphthalenecarboxylic acid, 3-[[5-[[(2S)-3-[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthalenyl]-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]pentyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

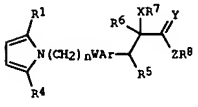


RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:97297 CAPLUS
DN 138:153432
TI Preparation of pyrrolylethoxyphenylethoxypropanoates having hypolipidemic and hypocholesteremic activity.
IN Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Barot, Vijay Kumar; Raval, Saurin Khimshankar; Raval, Preeti Saurin; Basu, Sujay
PA Cadila Healthcare Limited, India
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003009841	A1	20030206	WO 2002-IN155	20020725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1414439	A1	20040506	EP 2002-751609	20020725
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002011665	A	20050111	BR 2002-11665	20020725
	JP 2005503367	T2	20050203	JP 2003-515234	20020725
PRAI	IN 2001-MU711	A	20010726		
	WO 2002-IN155	W	20020725		

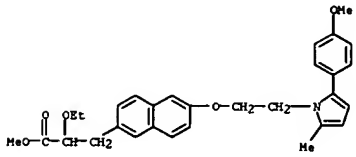
OS MARPAT 138:153432
GI



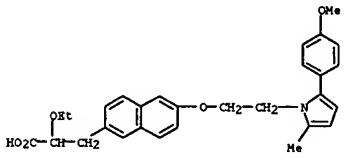
I

AB Title compds. [I; R1, R4 = H, haloalkyl, NO2, cyano, CHO, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclyl, heteroaryl, etc.; W = O, S, NR9; R9 = H, alkyl, aryl; Ar = (substituted) aryl, heteroaryl; R5, R6 = H; R5R6 = bond; R7 = H, perfluoroalkyl, (substituted) alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, acyl, etc.; R8 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, etc.; Y = O, S; Z = O, S, NR10; R10 = H, (substituted) alkyl, aryl, aralkyl, hydroxyalkyl, aminoalkyl, heteroaryl, etc.; R8R10 = atoms to form a

L5 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(substituted) 5-6 membered ring; n = 2], were prepd. Thus, Me 2-ethoxy-3-[6-[2-[2-(4-methoxyphenyl)-5-methylpyrrol-1-yl]ethoxy]naphthalen-2-yl]propanoate (prepn. given) at 3 mg/kg day orally in mice reduced triglycerides by 26%. I may be useful in the treatment of obesity, hyperlipidemia, hypercholesteremia, syndrome X and diabetes.
IT 494851-79-5P 494852-02-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolylethoxyphenylethoxypropanoates having hypolipidemic
and hypocholesteremic activity)
RN 494851-79-5 CAPLUS
CN 2-Naphthalenepropanoic acid, α-ethoxy-6-[2-[2-(4-methoxyphenyl)-5-methyl-1H-pyrrol-1-yl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 494852-02-7 CAPLUS
CN 2-Naphthalenepropanoic acid, α-ethoxy-6-[2-[2-(4-methoxyphenyl)-5-methyl-1H-pyrrol-1-yl]ethoxy]- (9CI) (CA INDEX NAME)

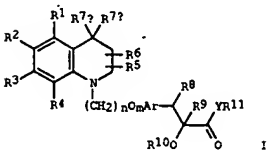


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:57908 CAPLUS
DN 138:106605
TI Preparation of aryloxyalkyltetrahydroquinolines as peroxisome proliferator activated receptor PPARα and/or PPARγ agonists
IN Gurram, Ranga Madhavan; Iqbal, Javed; Chakrabarti, Ranjan; Ramanujam, Rajagopalan
PA Reddy's Laboratories Ltd., India; Cord, Janet I.
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003006022	A1	20030123	WO 2002-US21096	20020708
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2001-303976P	P	20010709		

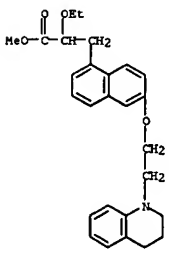
OS MARPAT 138:106605
GI



I

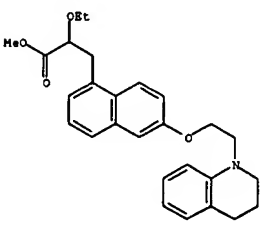
AB Title compds. [I; R1-R6 = H, halo, OH, NO2, cyano, CHO, (substituted) alkyl, cycloalkyl, alkoxy, aryl, aryloxy, aralkyl, heterocyclyl, heteroaryl, etc.; R7a = H, OH, SH, amino, (substituted) alkyl, alkoxy, alkylthio; R7b = H, (substituted) alkyl; R7aR7b = O, S; Ar = (substituted) divalent aryl, heterocyclyl; R8 = H, OH, alkoxy, halo, alkyl, (substituted) aralkyl; R8R9 = bond; R9 = H, OH, alkoxy, halo , alkyl, acyl, (substituted) aralkyl; R10 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, acyl, heterocyclyl, heteroaryl, etc.; Y = O, NR12; R12 = H, alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl; R11R12 = atoms to form a 5-6 membered ring; m = 0, 1; n = 1-4], were prepared as e.g. antidiabetics, hypolipidemics, antiobesity agents, and hypocholesterolemics (no data). Thus, 2-(1,2,3,4-tetrahydro-1-quinolinyl)ethyl methanesulfonate (preparation given), Et (S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate, and K2CO3 were stirred 10 h in DMF to give 51% Et (S)-2-ethoxy-3-[4-[2-(1,2,3,4-tetrahydro-1-

L5 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
quinolinyl)ethoxy]phenyl]propanoate.
IT 488753-49-7P 488753-50-0P 488753-51-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryloxyalkyltetrahydroquinolines as PPARα and/or PPARγ agonists)
RN 488753-49-7 CAPLUS
CN 1-Naphthalenepropanoic acid, 6-[2-(3,4-dihydro-1(2H)-quinolinyl)ethoxy]-α-ethoxy-, methyl ester (9CI) (CA INDEX NAME)



RN 488753-50-0 CAPLUS
CN 1-Naphthalenepropanoic acid, 6-[2-(3,4-dihydro-1(2H)-quinolinyl)ethoxy]-α-ethoxy-, methyl ester, (+)- (9CI) (CA INDEX NAME)

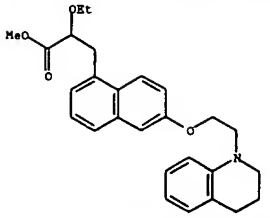
Rotation (+).



RN 488753-51-1 CAPLUS
CN 1-Naphthalenepropanoic acid, 6-[2-(3,4-dihydro-1(2H)-quinolinyl)ethoxy]-α-ethoxy-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L5 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

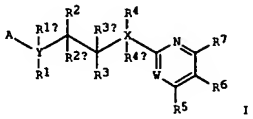


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

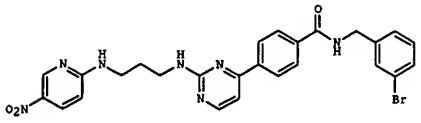
L5 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:814853 CAPLUS
DN 137:325431
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Muss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PA USA
SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002156087	A1	20021024	US 2001-949035	20010906
	US 6417185	B1	20020709	US 1999-336038	19990618
PRAI	US 1999-336038	A2	19990618		
	US 2000-230480P	P	20000906		
	US 1998-89978P	P	19980619		

OS MARPAT 137:325431
GI



I



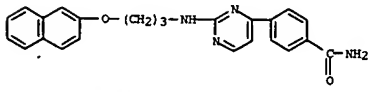
II

AB Title compds. I (wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as

L5 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

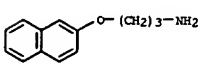
IT 252904-35-1P, Benzamide, 4-[2-[[3-(2-naphthalenyloxy)propyl]amino]-4-pyrimidinyl]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252904-35-1 CAPLUS
CN Benzamide, 4-[2-[[3-(2-naphthalenyloxy)propyl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



IT 58477-94-4P, 1-Propanamine, 3-(2-naphthalenyloxy)-
252944-00-6P, Guanidine, [3-(2-naphthalenyloxy)propyl]-, mono(4-methylbenzenesulfonate)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

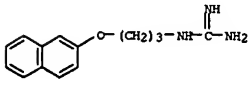
RN 58477-94-4 CAPLUS
CN 1-Propanamine, 3-(2-naphthalenyloxy)- (9CI) (CA INDEX NAME)



RN 252944-00-6 CAPLUS
CN Guanidine, [3-(2-naphthalenyloxy)propyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

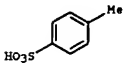
CM 1

L5 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CRN 252943-99-0
CMF C14 H17 N3 O



CM 2

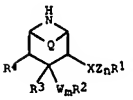
CRN 104-15-4
CMF C7 H8 O3 S



L5 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:754196 CAPLUS
DN 137:257677
TI Methods of treating or preventing Alzheimer's disease using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
IN Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO PCT Int. Appl., 449 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002076440	A2	20021003	WO 2002-US9100	20020321
WO 2002076440	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2001-278371P	P	20010323		
US 2001-308729P	P	20010730		

OS MARPAT 137:257677
GI



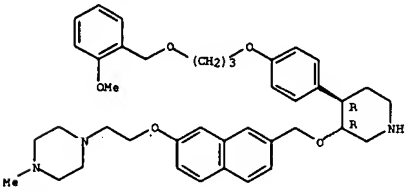
I

AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of preparation are claimed, .apprx.150 example prepns., translations from the German examples of patent WO 9709311, are included. I inhibit β-secretase with IC50 < 50 μM; compds. that are effective inhibitors of β-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a satd. C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos.

IT 188871-57-0P, Piperazine, 1-[2-[[7-[[[4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-4-methyl-, trans- 188871-92-3P, Morpholine, 4-[2-[[7-[[[4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, monohydrochloride, trans- 188871-98-9P, Piperazine, 1-methyl-4-[2-[[7-[[[4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, trihydrochloride, trans- 188872-30-2P, Morpholine, 4-[2-[[6-[[[4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, monohydrochloride, trans- 188875-31-2P, 3-Piperidinol, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-5-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-, (3α,4β,5α)- 188880-67-3P, Morpholine, 4-[2-[[7-[[[4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, (3R-trans)- 188880-72-0P, Piperazine, 1-methyl-4-[2-[[7-[[[4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, (3R-trans)- 188881-11-0P, Piperazine, 1-[2-[[7-[[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-4-methyl- 188881-12-1P, 3-Piperidinol, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-5-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-, [3S-(3α,4β,5α)]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

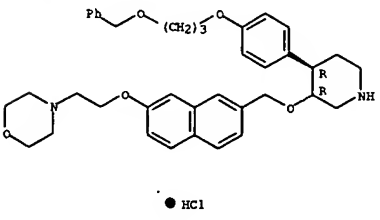
RN 188871-57-0 CAPLUS
CN Piperazine, 1-[2-[[7-[[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-4-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 188871-92-3 CAPLUS
CN Morpholine, 4-[2-[[7-[[[(3R,4R)-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

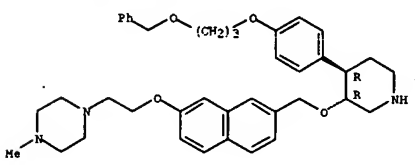
Relative stereochemistry.



● HCl

RN 188871-98-9 CAPLUS
CN Piperazine, 1-methyl-4-[2-[[7-[[[(3R,4R)-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, trihydrochloride, rel- (9CI) (CA INDEX NAME)

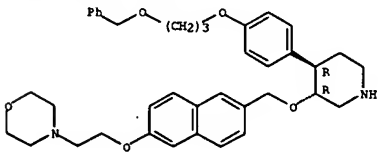
Relative stereochemistry.



● 3 HCl

RN 188872-30-2 CAPLUS
CN Morpholine, 4-[2-[[6-[[[(3R,4R)-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

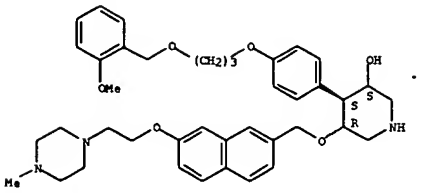


● HCl

RN 188875-31-2 CAPLUS
CN 3-Piperidinol, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-5-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-, (3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

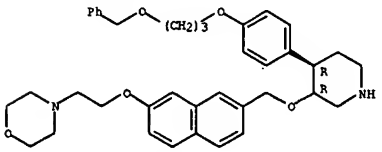
Relative stereochemistry.

L5 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



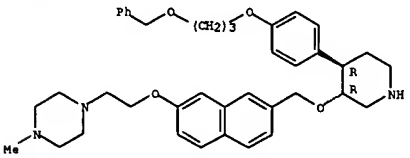
RN 188880-67-3 CAPLUS
CN Morpholine, 4-[2-[[7-[[[(3R,4R)-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



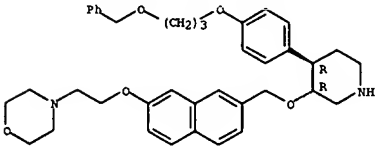
RN 188880-72-0 CAPLUS
CN Piperazine, 1-methyl-4-[2-[[7-[[[(3R,4R)-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188881-11-0 CAPLUS
CN Piperazine, 1-[2-[[7-[[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]

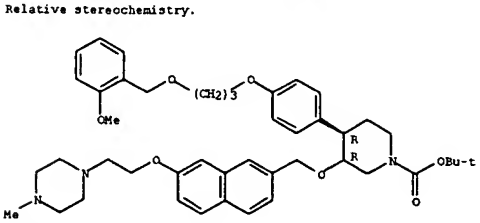
L5 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HCl

IT 188871-66-1P, 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-, 1,1-dimethylethyl ester, trans- 188871-77-4P, 1-Piperidinecarboxylic acid, 3-[[7-[2-(4-morpholinyl)ethoxy]-2-naphthalenyl]methoxy]-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-, 1,1-dimethylethyl ester, trans- 188871-83-2P, 1-Piperidinecarboxylic acid, 3-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-, 1,1-dimethylethyl ester, trans- 188872-28-8P, 1-Piperidinecarboxylic acid, 3-[[6-[2-(4-morpholinyl)ethoxy]-2-naphthalenyl]methoxy]-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-, 1,1-dimethylethyl ester, trans- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
RN 188871-66-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (9CI) (CA INDEX NAME)

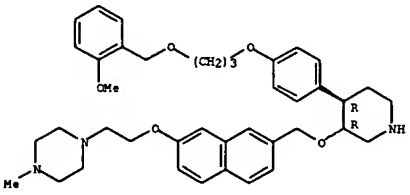
Relative stereochemistry.



RN 188871-77-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[7-[2-(4-morpholinyl)ethoxy]-2-naphthalenyl]methoxy]-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (9CI) (CA INDEX NAME)

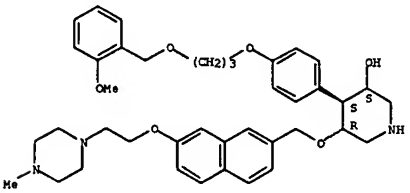
L5 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188881-12-1 CAPLUS
CN 3-Piperidinol, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-5-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-, (3S,4S,5R)- (9CI) (CA INDEX NAME)

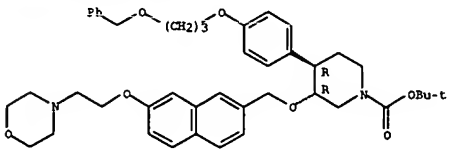
Absolute stereochemistry.



IT 463931-17-1, 4-[2-[[7-[[[(3RS,4RS)-4-[4-(3-Benzyloxypropoxy)phenyl]piperidin-3-yl]oxy]methyl]naphthalen-2-yl]oxy]ethyl]morpholine dihydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
RN 463931-17-1 CAPLUS
CN Morpholine, 4-[2-[[7-[[[(3R,4R)-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3-piperidinyl]oxy]methyl]-2-naphthalenyl]oxy]ethyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

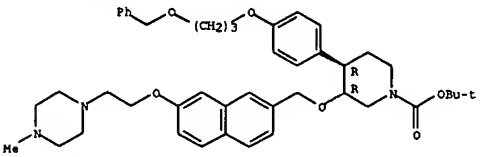
Relative stereochemistry.

L5 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Relative stereochemistry.



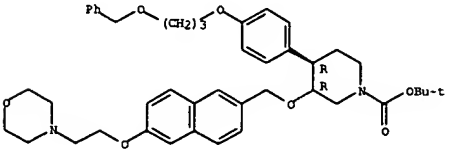
RN 188871-83-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[7-[2-(4-methyl-1-piperazinyl)ethoxy]-2-naphthalenyl]methoxy]-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 188872-28-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[6-[2-(4-morpholinyl)ethoxy]-2-naphthalenyl]methoxy]-4-[4-[3-(phenylmethoxy)propoxy]phenyl]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:185092 CAPLUS
DN 136:247598
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Russ, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PA Chiron Corporation, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020495	A2	20020314	WO 2001-US42081	20010906
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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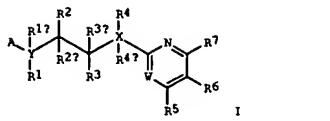
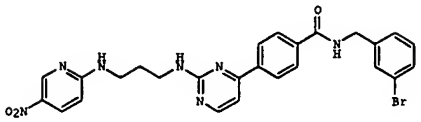
	JP 2004514656	T2	20040520	JP 2002-525117	20010906
PRAI	US 2000-230480P	P	20000906		
	WO 2001-US42081	W	20010906		

OS MARPAT 136:247598
GI

L5 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



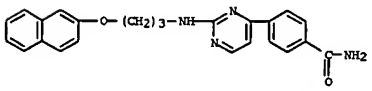
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by HZN(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT 252904-35-1P, Benzamide, 4-[2-[[3-(2-naphthalenyloxy)propyl]amino]-4-pyrimidinyl]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

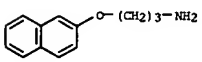
RN 252904-35-1 CAPLUS
CN Benzamide, 4-[2-[[3-(2-naphthalenyloxy)propyl]amino]-4-pyrimidinyl]- (9CI)

L5 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(CA INDEX NAME)



IT 58477-94-4P, 1-Propanamine, 3-(2-naphthalenyloxy)-
252944-00-6P, Guanidine, [3-(2-naphthalenyloxy)propyl]-, mono(4-methylbenzenesulfonate)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

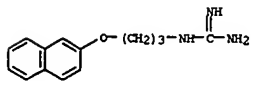
RN 58477-94-4 CAPLUS
CN 1-Propanamine, 3-(2-naphthalenyloxy)- (9CI) (CA INDEX NAME)



RN 252944-00-6 CAPLUS
CN Guanidine, [3-(2-naphthalenyloxy)propyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

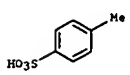
CM 1

CRN 252943-99-0
CMF C14 H17 N3 O



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

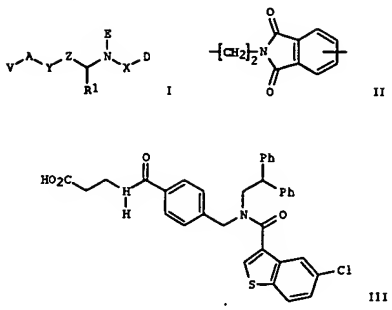


L5 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:824211 CAPLUS
DN 134:4764
TI Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists
IN Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry Kenneth; Lau, Jesper; Madsen, Peter; Sams, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thoger; Lundt, Behrend Frederik; Sidelmann, Ulla Grove; Thogersen, Henning
PA Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SO PCT Int. Appl., 564 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000069810	A1	20001123	WO 2000-DK264	20000516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6503949	B1	20000516	US 2000-572553	20000516
CA 2373892	AA	20001123	CA 2000-2373892	20000516
EP 1183229	A1	20020306	EP 2000-926725	20000516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010651	A	20020319	BR 2000-10651	20000516
JP 2002544254	T2	20021224	JP 2000-618228	20000516
ZA 2001008560	A	20020613	ZA 2001-8560	20011018
NO 2001005607	A	20020117	NO 2001-5607	20011116
US 2003220350	A1	20031127	US 2002-233851	20020830
PRAI DK 1999-684	A	19990517		
DK 2000-478	A	20000321		
US 1999-134415P	P	19990517		
US 2000-191685P	P	20000323		
US 2000-572553	A3	20000516		
WO 2000-DK264	W	20000516		

OS MARPAT 134:4764
GI

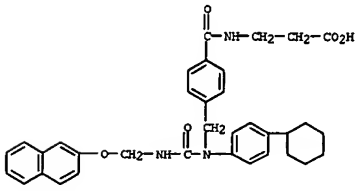


AB The title compds. [I; V = CO2R2, CONR2R3, CONR2OR3, etc. (wherein R2, R3 = H, alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0-1; n = 0-3; R7 = H, alkyl, (cycloalkyl)alkyl; R8, R9 = H, alkyl); Y = CO, SO2, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heterocarom. ring containing 1-2 heteroatoms selected from N, O and S; or AYZ together = II; R1 = H, alkyl; X = CO(CR13R14)r(CH2)s, SO2(CR13R14)r(CH2)s, CO2(CR13R14)r(CH2)s, etc. (r = 0-1; s = 0-3; R13, R14 = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.) which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

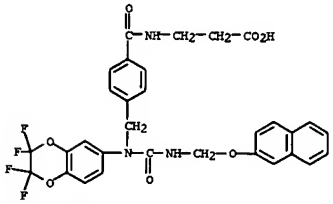
IT 307984-57-2 307984-59-4 307984-60-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 3-(benzoylamino)propionic acid derivs. as glucagon antagonists/inverse agonists)

RN 307984-57-2 CAPLUS
CN β-Alanine, N-[4-[[[4-cyclohexylphenyl][[[(2-naphthalenyloxy)methyl]amino]carbonyl]amino]methyl]benzoyl]- (9CI) (CA INDEX NAME)

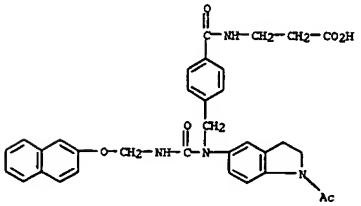
L5 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 307984-59-4 CAPLUS
CN β-Alanine, N-[4-[[[[(2-naphthalenyloxy)methyl]amino]carbonyl](2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 307984-60-7 CAPLUS
CN β-Alanine, N-[4-[[(1-acetyl-2,3-dihydro-1H-indol-5-yl)[[[(2-naphthalenyloxy)methyl]amino]carbonyl]amino]methyl]benzoyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:790490 CAPLUS
DN 133:350232
TI Substituted β-aryl-α-oxy-substituted propionic acids, particularly benzoxazines and benzothiazines, process for their preparation, and their use as antiobesity and hypocholesterolemic agents
IN Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Ashok, Channaveerappa Bajji; Kalchar, Shivaramayya; Gurram, Ranga Madhavan; Rajagopalan, Ramanujam; Ranjan, Chakrabarti
PA Reddy's Research Foundation, India
SO PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000066572	A1	20001109	WO 2000-IB501	20000425
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2371757	AA	20001109	CA 2000-2371757	20000425
	EP 1175412	A1	20020130	EP 2000-919081	20000425
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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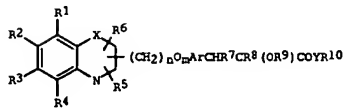
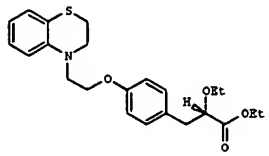
	EE 200100540	A	20021216	EE 2001-540	20000425
	JP 2002543194	T2	20021217	JP 2000-615602	20000425
	BR 2000010139	A	20040302	BR 2000-10139	20000425
	NZ 515284	A	20041224	NZ 2000-515284	20000425
	ZA 2001008733	A	20030123	ZA 2001-8733	20011023
	HR 2001000785	A1	20030228	HR 2001-785	20011024
	NO 2001005259	A	20011115	NO 2001-5259	20011026
	BG 106146	A	20020531	BG 2001-106146	20011126
PRAI	IN 1999-MA484	A	19990428		
	WO 2000-IB501	W	20000425		

OS MARPAT 133:350232
GI



I

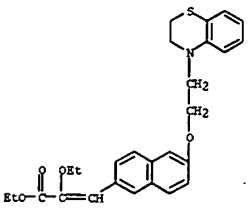


II

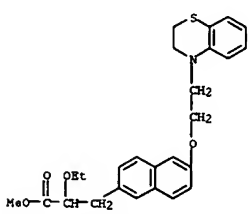
AB Title compds. I [R1-R6 (when bound to C) = H, halo, OH, NO2, cyano, CHO, (substituted) alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, amino, acylamino, aralkoxycarbonyl, alkylthio, etc.; R5 and/or R6 (on C) may also be oxo; R7 = H, OH, alkoxy, halo, alkyl, (substituted) aralkyl; R8 = R7, acyl; or R7R8 = pi bond; R9 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxycarbonyl, alkylaminocarbonyl, acyl, heterocyclyl, heteroaryl, etc.; R10 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl; X = S, O, NR11; R11 = H, (substituted) alky, aryl, acyl, etc.; Y = O, NR12; R12 = H, alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl; R10R12 may form 5- to 6-membered N-containing heterocyclyl; n = 1-4; m = 0, 1; Ar = (substituted) single or fused aromatic or heterocyclic divalent group]. The compds. are agonists at PPARα and/or PPARγ, and optionally inhibit HMG-CoA reductase. They are thus useful in a variety of pharmaceutical roles, particularly as antiobesity and hypocholesterolemic agents. Examples include 77 syntheses and 5 precursor prepns., plus several bioassays. For instance, title compound II was prepared by etherification of the corresponding phenol derivative and benzothiazinylethyl mesylate ester (70% yield). At doses of 1-10 mg/kg orally in mice, selected compds. I reduced plasma triglyceride levels by 23-74%, blood glucose by 45-53%, and total cholesterol levels by 42-57%.

IT 223606-81-3P 223606-83-5P 223606-85-7P 223606-87-9P 223607-60-1P 223607-62-3P 223607-63-4P 223607-64-5P 223607-65-6P 223607-66-7P 223608-23-9P 305827-85-4P, Ethyl (E)-3-[6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]naphth-2-yl]-2-ethoxyprop-2-enoate 305827-87-6P, Ethyl (Z)-3-[6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]naphth-2-yl]-2-ethoxyprop-2-enoate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzoxazines and benzothiazines as antiobesity and hypocholesterolemic agents)

RN 223606-81-3 CAPLUS
CN 2-Propenoic acid, 3-[6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-2-naphthalenyl]-2-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

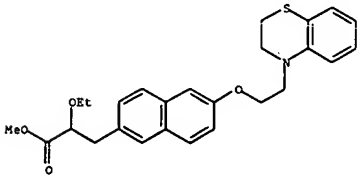


RN 223606-83-5 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, methyl ester (9CI) (CA INDEX NAME)



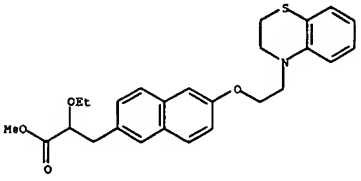
RN 223606-85-7 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

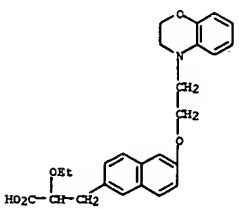


RN 223606-87-9 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



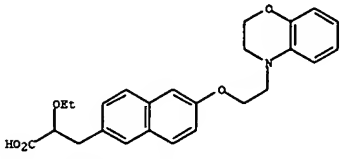
RN 223607-60-1 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethoxy]-α-ethoxy- (9CI) (CA INDEX NAME)



RN 223607-62-3 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzoxazin-4-

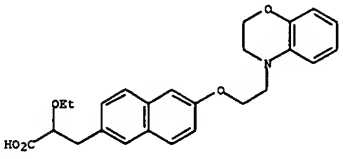
L5 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl)ethoxy]-α-ethoxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

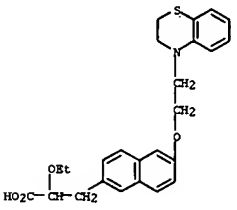


RN 223607-63-4 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethoxy]-α-ethoxy-, (-)- (9CI) (CA INDEX NAME)

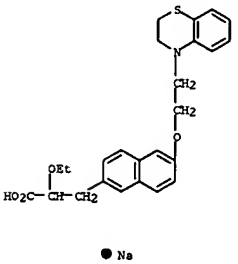
Rotation (-).



RN 223607-64-5 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy- (9CI) (CA INDEX NAME)



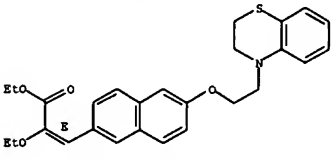
L5 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● Na

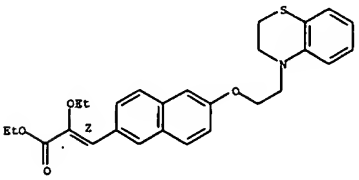
RN 305827-85-4 CAPLUS
CN 2-Propenoic acid, 3-[6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-2-naphthalenyl]-2-ethoxy-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 305827-87-6 CAPLUS
CN 2-Propenoic acid, 3-[6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-2-naphthalenyl]-2-ethoxy-, ethyl ester, (2Z)- (9CI) (CA INDEX NAME)

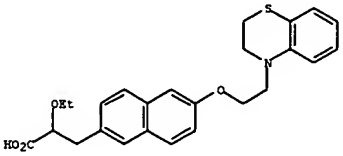
Double bond geometry as shown.



L5 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

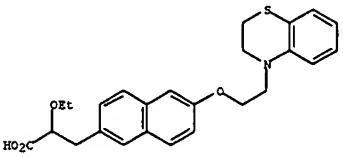
RN 223607-65-6 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 223607-66-7 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, (-)- (9CI) (CA INDEX NAME)

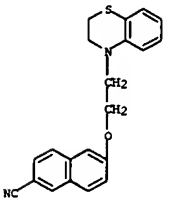
Rotation (-).



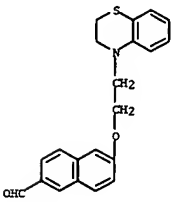
RN 223608-23-9 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, sodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 223608-13-7P 223608-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoxazines and benzothiazines as antiobesity
and hypocholesterolemic agents)
RN 223608-13-7 CAPLUS
CN 2-Naphthalenecarbonitrile, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]- (9CI) (CA INDEX NAME)



RN 223608-14-8 CAPLUS
CN 2-Naphthalenecarboxaldehyde, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]- (9CI) (CA INDEX NAME)

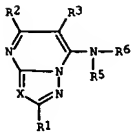


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:535146 CAPLUS
DN 133:135324
TI Preparation of 7-aminopyrazolo[1,5-a]pyrimidine and 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivatives as fat accumulation inhibitory agents
IN Ohtsubo, Tsuguteru; Murakami, Hiroko
PA Sumitomo Chemical Company, Limited, Japan; Sumitomo Pharmaceuticals Company, Limited
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000044754	A1	20000803	WO 2000-JP462	20000128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359041	AA	20000803	CA 2000-2359041	20000128
EP 1149835	A1	20011031	EP 2000-901971	20000128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI JP 1999-22357	A	19990129		
WO 2000-JP462	W	20000128		

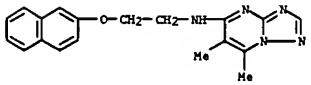
OS MARPAT 133:135324
GI



I

AB Aminopyrimidine derivs. represented by general formula (I; wherein R1 represents hydrogen, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; R2 and R3 represent each hydrogen, halogeno, (un)substituted alkyl, alkanyl, aryl, aralkyl, or heterocyclyl; or R2 and R3 are combined together to represents C3-10 alkylene; R5 represents hydrogen, (un)substituted alkyl or alkenyl; R6 represents C1-12 alkyl, (un)substituted C2-12 alkenyl, acyl, etc.; and X represents nitrogen, CR4;

L5 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
wherein R4 represents hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, or aralkyl) are prepd. Theses compds. inhibit fat accumulation in fat cells and, therefore, are efficacious in preventing and treating various diseases in assocn. with enlargement of fat tissues, e.g. obesity, diabetes, and hyperlipidemia. Thus, 7-chloro-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidine and 2-(2,4-dimethylphenoxy)ethylamine were stirred with Et3N in toluene at 100° for 3 h to give N-[2-(2,4-dimethylphenoxy)ethyl]-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidin-7-amine (II). II and 5,6-dimethyl-N-(2-[4-(1-methyl-1-phenylethyl)phenoxy]ethyl)-1,2,4-triazolo[1,5-a]pyrimidin-7-amine inhibited accumulation of fat mesenteric fat tissue by 51 and 83%, resp.
IT 286428-49-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-aminopyrazolo[1,5-a]pyrimidine and 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivs. as fat accumulation inhibitory agents)
RN 286428-49-7 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-5-amine, 6,7-dimethyl-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

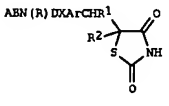


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:450896 CAPLUS
DN 131:87908
TI Preparation of thiazolidinediones having antidiabetic, hypolipidemic, and antihypertensive properties.
IN Kallam, Anji Reddy; Lohray, Vidya Bhushan; Alla, Sekhar Reddy; Pingali, Harikishore; Ramanujam, Rajagopalan; Casturi, Seshagiri Rao
PA Reddy's Research Foundation, India
SO U.S., 33 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5925656	A	19990720	US 1996-621226	19960325
AT 231136	E	20030215	AT 1996-105590	19960409
PRAI IN 1995-MA431	A	19950410		
US 1995-476385	A2	19950607		
EP 1996-105590	A	19960409		

OS MARPAT 131:87908
GI

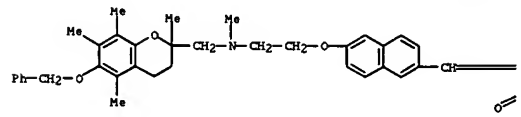


I

AB Title compds. [I; A = (substituted) unsatd. aliphatyl, alicyclyl, aryl, heterocyclyl; B = (substituted) alkylene, alkenylene; D = (substituted) alkylene, alkenylene, alkynylene; R = H, (substituted) alkylene, alkenyl, alkynyl, aralkyl, alkoxycarbonyl, aryloxycarbonyl; X = CH2, CO, CH(OH), S, O, imino; Ar = divalent (substituted) (hetero)aryl; R1, R2 = H; R1R2 = bond], were prepared Thus, 4-[2-[N-(6-benzyloxy-2,5,7,8-tetramethylchroman-2-ylmethyl)-N-methylamino]ethoxy]benzaldehyde (preparation given) was refluxed with 2,4-thiazolidinedione and piperidine in PhMe with removal of H2O to give 5-[4-[2-[N-(6-benzyloxy-2,5,7,8-tetramethylchroman-2-ylmethyl)-N-methylamino]ethoxy]phenylmethylene]thiazolidine-2,4-dione. The latter was heated with aqueous HCl in AcOH to give 5-[4-[2-[N-(6-hydroxy-2,5,7,8-tetramethylchroman-2-ylmethyl)-N-methylamino)ethoxy]phenylmethylene]thiazolidine-2,4-dione. This at 200 mg/kg orally in mice for 9 days reduced the RBS (random blood sugar) level by 43%.
IT 187340-65-4P 187340-76-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidinediones having antidiabetic, hypolipidemic, and antihypertensive properties)
RN 187340-65-4 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[6-[2-[[[3,4-dihydro-2,5,7,8-tetramethyl-6-(phenylmethoxy)-2H-1-benzopyran-2-yl]methyl]methylamino]ethoxy]-2-naphthalenyl]methylene]- (9CI) (CA INDEX NAME)

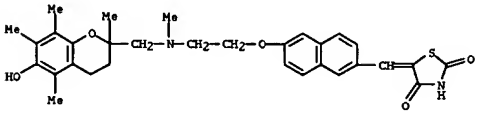
L5 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

RN 187340-76-7 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[6-[2-[[[3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methyl]methylamino]ethoxy]-2-naphthalenyl]methylene]- (9CI) (CA INDEX NAME)



RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:286013 CAPLUS

DN 130:311806

TI Preparation of benzoxazines and benzothiazines as antiobesity and hypocholesterolemic agents.

IN Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Bajji, Ashok Channaveerappa; Kalchar, Shivaramayya; Ramanujam, Rajagopalan; Chakrabarti, Ranjan

PA Reddy's Research Foundation, India; Reddy-Cheminor, Inc.

SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2

DT Patent

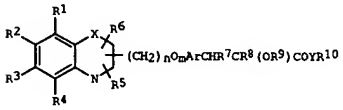
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9920614	A1	19990429	WO 1998-US22570	19981026
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6265401	B1	20010724	US 1998-85292	19980527
CA 2307068	AA	19990429	CA 1998-2307068	19981026
AU 9911206	A1	19990510	AU 1999-11206	19981026
AU 752059	B2	20020905		
BR 9812770	A	20001212	BR 1998-12770	19981026
EP 1082313	A1	20010314	EP 1998-953970	19981026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002507543	T2	20020312	JP 2000-516956	19981026
NZ 504106	A	20030228	NZ 1998-504106	19981026
RU 2247722	C2	20050310	RU 2000-114196	19981026
ZA 9809781	A	19990825	ZA 1998-9781	19981027
NO 2000002114	A	20000626	NO 2000-2114	20000426
US 2001051619	A1	20011213	US 2001-816584	20010323
US 6846824	B2	20050125		
US 2002082258	A1	20020627	US 2001-820485	20010329
US 6809095	B2	20041026		
PRAI IN 1997-MA2417	A	19971027		
US 1998-85292	A2	19980527		
WO 1998-US22570	W	19981026		

OS MARPAT 130:311806

GI



I

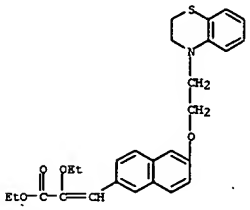
L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. I; R1-R6 = H, halo, OH, NO2, cyano, CHO, (substituted) alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, amino, acylamino, aralkoxycarbonyl, alkylthio, etc.; R7 = H, OH, alkoxy, halo, alkyl, (substituted) aralkyl, bond; R8 = R7, acyl; R9 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxycarbonyl, alkylaminocarbonyl, acyl, heterocyclyl, heteroaryl, etc.; R10 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl; Y = O, NR12; R12 = H, alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl; R10R12 = 5-6 membered (hetero)cyclyl; n = 1-4; m = 0, 1; Ar = (substituted) divalent. Thus, 3-[6-[2-(2,3-dihydro-1,4-benzothiazin-4-yl)ethoxy]naphthyl]-2-ethoxypropanoic acid Na salt (preparation given) at 1 mg/kg orally in rats reduced plasma triglyceride and total cholesterol levels by 50% and 42%, resp.

IT **223606-81-3P 223606-83-5P 223606-85-7P**
223606-87-9P 223607-60-1P 223607-62-3P
223607-63-4P 223607-64-5P 223607-65-6P
223607-66-7P 223608-23-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazines and benzothiazines as antiobesity and hypocholesterolemic agents)

RN 223606-81-3 CAPLUS

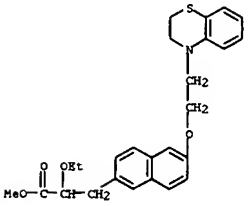
CN 2-Propenoic acid, 3-[6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-2-naphthalenyl]-2-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



RN 223606-83-5 CAPLUS

CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

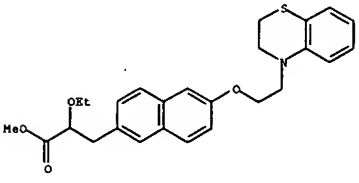
L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 223606-85-7 CAPLUS

CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, methyl ester, (+)- (9CI) (CA INDEX NAME)

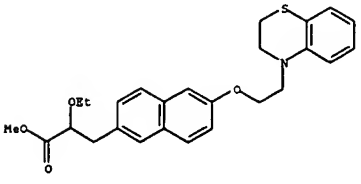
Rotation (+).



RN 223606-87-9 CAPLUS

CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, methyl ester, (-)- (9CI) (CA INDEX NAME)

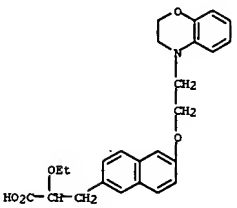
Rotation (-).



RN 223607-60-1 CAPLUS

L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

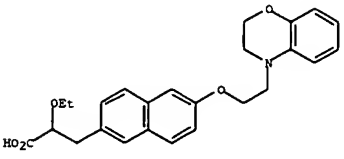
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethoxy]-α-ethoxy- (9CI) (CA INDEX NAME)



RN 223607-62-3 CAPLUS

CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethoxy]-α-ethoxy-, (+)- (9CI) (CA INDEX NAME)

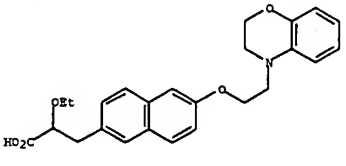
Rotation (+).



RN 223607-63-4 CAPLUS

CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethoxy]-α-ethoxy-, (-)- (9CI) (CA INDEX NAME)

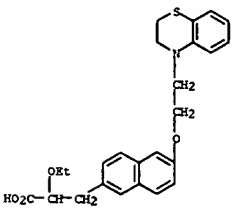
Rotation (-).



RN 223607-64-5 CAPLUS

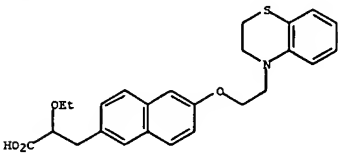
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-

L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl)ethoxy]-α-ethoxy- (9CI) (CA INDEX NAME)



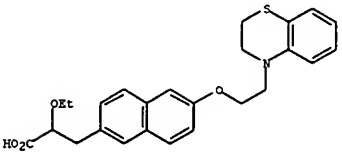
RN 223607-65-6 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

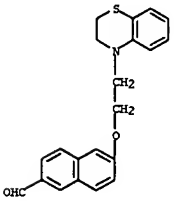


RN 223607-66-7 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



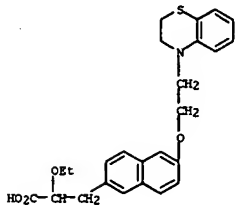
L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

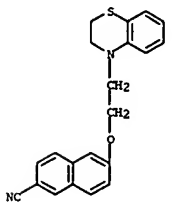
L5 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 223608-23-9 CAPLUS
CN 2-Naphthalenepropanoic acid, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]-α-ethoxy-, sodium salt (9CI) (CA INDEX NAME)



● Na

IT 223608-13-7P 223608-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoxazines and benzothiazines as antiobesity and hypocholesterolemic agents)
RN 223608-13-7 CAPLUS
CN 2-Naphthalenecarbonitrile, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]- (9CI) (CA INDEX NAME)



RN 223608-14-8 CAPLUS
CN 2-Naphthalenecarboxaldehyde, 6-[2-(2,3-dihydro-4H-1,4-benzothiazin-4-yl)ethoxy]- (9CI) (CA INDEX NAME)

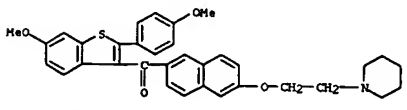
L5 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:366892 CAPLUS
DN 129:54288
TI Preparation of benzothiophenes for inhibiting estrogen deprivation
IN Bryant, Henry Uhlman; Cullinan, George Joseph; Fahey, Kennan Joseph
PA Eli Lilly and Co., USA
SO U.S., 11 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5760030	A	19980602	US 1997-886575	19970630
PRAI US 1997-886575		19970630		

OS MARPAT 129:54288
GI

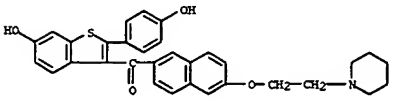
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, OH, O(C1-4 alkyl), etc.; R2 = H, OH, O(C1-4 alkyl), etc.; A = II, III, IV, V, VI, VII (B = OCH2CH2NR3R4; R3, R4 = C1-4 alkyl; NR3R4 = piperidino, pyrrolidino, hexamethyleniмino, etc.)] and their salts, which inhibit estrogen deprivation due to menopause or ovariectomy, and as such useful in the treatment of osteoporosis and cardiovascular disease (hyperlipidemia), and for inhibition of estrogen-dependent cancer (breast and uterine cancer), restenosis, aortal smooth muscle cell proliferation, uterine fibroid disease, and endometriosis, were prepared and formulated. Thus, treatment of 4'-[2-(1-piperidinyl)ethoxy]biphenyl-4-carboxylic acid hydrochloride (preparation described) with SOCl2 and DMF in CH2Cl2 followed by reaction of the resulting acid chloride with 2-(4-methoxyphenyl)-6-methoxybenzo[b]thiophene in CH2Cl2 afforded I [R1 = R2 = MeO; A = 4'-[2-(1-piperidinyl)ethoxy]biphenyl-4-yl]. Compds. I reduce serum cholesterol compared to the ovariectomized animals, and also the uterine weight was increased to lesser extent than those given 17-α-ethynylestradiol (EE2).
IT 202067-89-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzothiophenes for inhibiting estrogen deprivation)
RN 202067-89-8 CAPLUS
CN Methanone, [6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl][6-[2-(1-piperidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

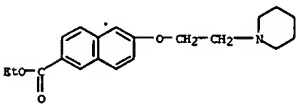


IT 202067-90-1P

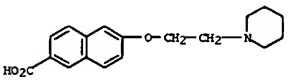
L5 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzothiophenes for inhibiting estrogen deprivation)
RN 202067-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][6-[2-(1-piperidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



IT 202067-93-4P 202067-94-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzothiophenes for inhibiting estrogen deprivation)
RN 202067-93-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(1-piperidinyl)ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 202067-94-5 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(1-piperidinyl)ethoxy]-, hydrochloride (9CI) (CA INDEX NAME)



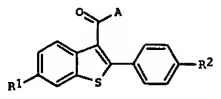
● HCl

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

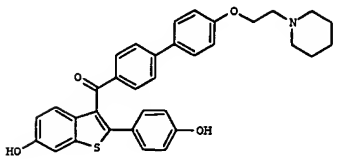
L5 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:116192 CAPLUS
DN 128:127928
TI Benzothiophene compounds and methods of use in treatment of estrogen-related conditions
IN Bryant, Henry Uhlman; Cullinan, George Joseph; Fahey, Kennan Joseph
PA Eli Lilly and Co., USA
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 818453	A1	19980114	EP 1997-304484	19970625
	EP 818453	B1	20020814		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CA 2206997	AA	19980110	CA 1997-2206997	19970604
	ES 2181996	T3	20030301	ES 1997-304484	19970625
	JP 10067777	A2	19980310	JP 1997-174152	19970630
PRAI	US 1996-21513P	P	19960710		

OS MARPAT 128:127928
GI



I

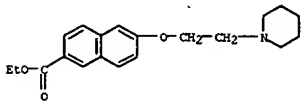


II

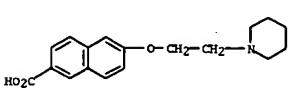
AB The invention provides novel benzothiophene compds. I [R1 = H, OH, O(C1-4 alkyl), OCO(C1-6 alkyl), OCOO(C1-6 alkyl), OCOAr, OCOOAr, or OSO2(C4-6 alkyl); R2 = H, OH, O(C1-4 alkyl), OCO(C1-6 alkyl), OCOO(C1-6 alkyl), OCOAr, OCOOAr, OSO2(C4-6 alkyl), F, Cl, or Br; Ar = (un)substituted Ph; A = 4'-B-biphenyl-4-yl, 5-, 6-, or 7-B-naphthalen-2-yl, 5- or 6-B-naphthalen-1-yl; B = OCH2CH2NR3R4; R3, R4 = C1-4 alkyl; or NR3R4 = piperidinyl, pyrrolidinyl, methylpyrrolidinyl, dimethylpyrrolidinyl, or hexamethyleneimino] and their pharmaceutically acceptable salts and solvates. The compds. are useful for inhibition of estrogen deprivation, postmenopausal symptoms, particularly osteoporosis, cardiovascular

L5 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
-related pathol. conditions including hyperlipidemia and related cardiovascular pathologies, and estrogen-dependent cancer. For instance, 4'-hydroxybiphenyl-4-carboxylic acid underwent a sequence of Me esterification using H2SO4 in MeOH, etherification with 2-(1-piperidinyl)-1-chloroethane-HCl using K2CO3 in MEK, alk. sapon. of the Me ester, conversion to the acid chloride, Friedel-Crafts acylation with 2-(4-methoxyphenyl)-6-methoxybenzo[b]thiophene, and O-demethylation with EtSH and AlCl3, to give title compd. II.HCl. In an ovariectomized rat model, compds. I gave redns. in serum cholesterol with slightly less potency than 17α-ethynylestradiol, but I also gave a lower uterine wt. increase and eosinophil infiltration (side effects).
IT 202067-93-4P, Ethyl 6-[2-(1-piperidinyl)ethoxy]-2-naphthoate
202067-94-5P, 6-[2-(1-Piperidinyl)ethoxy]-2-naphthoic acid hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzothiophene compds. for treatment of estrogen-related conditions)
RN 202067-93-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(1-piperidinyl)ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



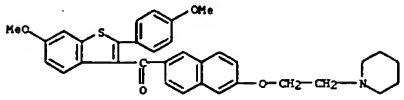
RN 202067-94-5 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(1-piperidinyl)ethoxy]-, hydrochloride (9CI) (CA INDEX NAME)



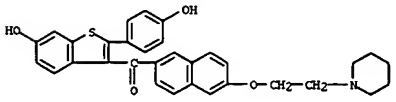
● HCl

IT 202067-89-8P, [2-(4-Methoxyphenyl)-6-methoxybenzo[b]thien-3-yl][6-[2-(1-piperidinyl)ethoxy]naphth-2-yl]methanone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzothiophene compds. for treatment of estrogen-related conditions)
RN 202067-89-8 CAPLUS
CN Methanone, [6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl][6-[2-(1-

L5 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
piperidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)



IT 202067-90-1P, [2-(4-Hydroxyphenyl)-6-hydroxybenzo[b]thien-3-yl][6-[2-(1-piperidinyl)ethoxy]naphth-2-yl]methanone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiophene compds. for treatment of estrogen-related conditions)
RN 202067-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][6-[2-(1-piperidinyl)ethoxy]-2-naphthalenyl]- (9CI) (CA INDEX NAME)

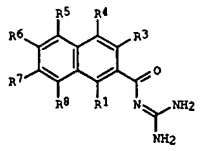


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:783653 CAPLUS
DN 128:48065
TI Preparation of 2-naphthoylguanidines as sodium proton exchanger inhibitors.
IN Brendel, Joachim; Kleemann, Heinz-Werner; Englert, Heinrich Christian; Lang, Hans Jochen; Schwark, Jan-Robert; Weichert, Andreas; Lal, Bansi
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 810206	A1	19971203	EP 1997-108013	19970516
EP 810206	B1	20001227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
IN 182114	A	19990102	IN 1996-BO205	19960412
DE 19621483	A1	19971204	DE 1996-19621483	19960529
PL 185754	B1	20030731	PL 1997-318723	19970228
US 6087304	A	20000711	US 1997-857631	19970516
AT 198320	E	20010115	AT 1997-108013	19970516
ES 2154002	T3	20010316	ES 1997-108013	19970516
PT 810206	T	20010629	PT 1997-108013	19970516
AU 9723645	A1	19971204	AU 1997-23645	19970527
AU 710065	B2	19990916		
CN 1167759	A	19971217	CN 1997-113187	19970527
TW 416944	B	20010101	TW 1997-86107120	19970527
HR 970292	B1	20010831	HR 1997-970292	19970527
SK 282020	B6	20011008	SK 1997-670	19970527
IL 120924	A1	20020310	IL 1997-120924	19970527
CA 2206366	AA	19971129	CA 1997-2206366	19970528
NO 9702433	A	19971201	NO 1997-2433	19970528
NO 308527	B1	20000925		
ZA 9704665	A	19971201	ZA 1997-4665	19970528
JP 10081664	A2	19980331	JP 1997-138227	19970528
RU 2190600	C2	20021010	RU 1997-109003	19970528
BR 9703338	A	19980818	BR 1997-3338	19970530
GR 3035126	T3	20010330	GR 2000-402772	20001228

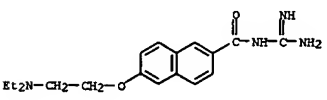
PRAI DE 1996-19621483 A 19960529
OS MARPAT 128:48065
GI



I

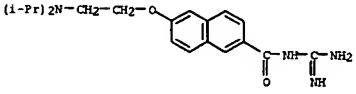
L5 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. [I; ≥1 of R1, R3, R4, R5, R6, R7, R8 = XYaWZ, etc.; X = O, S, NR10, CR11R12; R10, R11, R12, R14, R20 = H, alkyl, perfluoroalkyl, cycloalkyl; Y = (heteroatom- or phenylene-interrupted) alkylene; a = 0, 1; W = CH2, SO2, SONH, O, NR14; Z = COR15, SO2R15, NR16R17; R15 = N:C(NH2)2, NR18R19, OR20, etc.; R16, R17, R18, R19 = H, alkyl, perfluoroalkyl; R16R17, R18R19 = (heteroatom-interrupted) alkylene; the rest of R1, R3, R4, R5, R6, R7, R8 = H, F, Cl, Br, iodo, cyano, NO2, CF3, Et, etc.; with provisos], were prepared as antiarrhythmics with cardioprotective activity (no data). Thus, Me 6-hydroxy-2-naphthoate in DMF was treated with NaOMe and then with diethylaminoethyl chloride to give Me 6-(2-diethylaminoethoxy)-2-naphthoate. This was saponified and the acid was condensed with guanidine using CDI to give 6-(2-diethylaminoethoxy)-2-naphthoylguanidine dihydrochloride.
IT **199924-58-8P 199924-59-9P 199924-60-2P 199924-64-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-naphthoylguanidines as sodium proton exchanger inhibitors)
RN 199924-58-8 CAPLUS
CN 2-Naphthalenecarboxamide, N-(aminoiminomethyl)-6-[2-(diethylamino)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

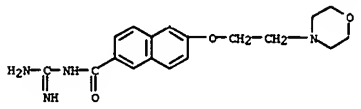
RN 199924-59-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-(aminoiminomethyl)-6-[2-[bis(1-methylethyl)amino]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

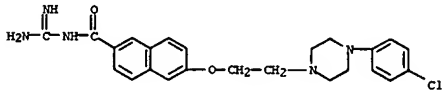
RN 199924-60-2 CAPLUS
CN 2-Naphthalenecarboxamide, N-(aminoiminomethyl)-6-[2-(4-morpholinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



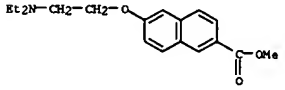
●2 HCl

RN 199924-64-6 CAPLUS
CN 2-Naphthalenecarboxamide, N-(aminoiminomethyl)-6-[2-[4-(4-chlorophenyl)-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

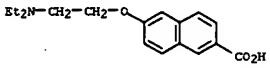


●2 HCl

IT **199924-66-8P 199924-67-9P 199924-68-0P 199924-69-1P 199924-70-4P 199924-76-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-naphthoylguanidines as sodium proton exchanger inhibitors)
RN 199924-66-8 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(diethylamino)ethoxy]-, methyl ester (9CI) (CA INDEX NAME)

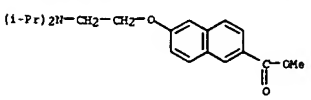


RN 199924-67-9 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(diethylamino)ethoxy]- (9CI) (CA INDEX NAME)

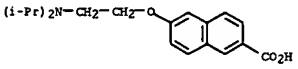


L5 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

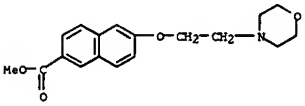
RN 199924-68-0 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-[bis(1-methylethyl)amino]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



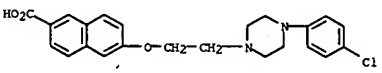
RN 199924-69-1 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-[bis(1-methylethyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



RN 199924-70-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-(4-morpholinyl)ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 199924-76-0 CAPLUS
CN 2-Naphthalenecarboxylic acid, 6-[2-[4-(4-chlorophenyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

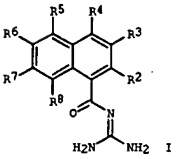


L5 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN

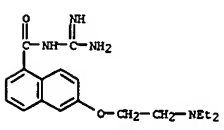
AN 1997:783652 CAPLUS
DN 128:48064
TI Preparation of substituted 1-naphthoylguanidines as sodium proton antiporter inhibitors.
IN Brendel, Joachim; Kleemann, Heinz-Werner; Englert, Heinrich Christian; Lang, Hans Jochen; Albus, Udo; Lal, Bansi; Ghate, Vasantrao Anil
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 810205	A1	19971203	EP 1997-108012	19970516
	EP 810205	B1	20010328		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
	IN 180998	A	19980411	IN 1996-BO206	19960412
	DE 19621482	A1	19971204	DE 1996-19621482	19960529
	PL 185755	B1	20030731	PL 1997-318724	19970228
	AT 200076	E	20010415	AT 1997-108012	19970516
	ES 2155223	T3	20010501	ES 1997-108012	19970516
	PT 810205	T	20010830	PT 1997-108012	19970516
	AU 9723713	A1	19971204	AU 1997-23713	19970527
	AU 710258	B2	19990916		
	CN 1167758	A	19971217	CN 1997-113186	19970527
	CN 1062859	B	20010307		
	SK 282356	B6	20020107	SK 1997-669	19970527
	HR 970294	B1	20020228	HR 1997-970294	19970527
	CZ 292441	B6	20030917	CZ 1997-1631	19970527
	CA 2206362	AA	19971129	CA 1997-2206362	19970528
	NO 9702434	A	19971201	NO 1997-2434	19970528
	NO 308528	B1	20000925		
	ZA 9704664	A	19971201	ZA 1997-4664	19970528
	JP 10081663	A2	19980331	JP 1997-138226	19970528
	RU 2182901	C2	20020527	RU 1997-109002	19970528
	BR 9703342	A	19980818	BR 1997-3342	19970530
	GR 3035811	T3	20010731	GR 2001-400659	20010430
	US 2003013760	A1	20030116	US 2002-217457	20020814
	US 6600072	B2	20030729		
PRAI	DE 1996-19621482	A	19960529		
	US 1997-858076	B1	19970516		

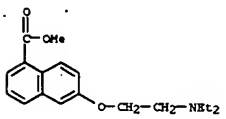
OS MARPAT 128:48064
GI



AB Title compds. [I; R2-R8 = H, F, Cl, Br, iodo, cyano, NO2, CF3, Et, etc.], were prepared as cardioprotectants with cardioprotective activity. Thus, 5-bromo-6-methoxy-1-naphthoic acid was stirred with CDI and guanidine in THF to give 5-bromo-6-methoxy-1-naphthoylguanidine hydrochloride.
IT 200009-52-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 1-naphthoylguanidines as sodium proton antiporter inhibitors)
RN 200009-52-5 CAPLUS
CN 1-Naphthalenecarboxamide, N-(aminoiminomethyl)-6-[2-(diethylamino)ethoxy]- (9CI) (CA INDEX NAME)

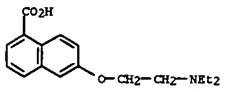


IT 200009-72-9P 200009-73-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 1-naphthoylguanidines as sodium proton antiporter inhibitors)
RN 200009-72-9 CAPLUS
CN 1-Naphthalenecarboxylic acid, 6-[2-(diethylamino)ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 200009-73-0 CAPLUS
CN 1-Naphthalenecarboxylic acid, 6-[2-(diethylamino)ethoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:184612 CAPLUS
DN 126:186077
TI Preparation of novel thiazolidinediones having antidiabetic, hypolipidemic and antihypertensive properties
IN Kallam, Anji Reddy; Lohray, Vidya Bhushan; Alla, Sekhar Reddy; Pingali, Harikishore; Ramanujam, Rajagopalan; Casturi, Seshagiri Rao
PA Kallam, Anji Reddy, India; Lohray, Vidya Bhushan; Alla, Sekhar Reddy; Pingali, Harikishore; Ramanujam, Rajagopalan; Casturi, Seshagiri Rao
SO Can. Pat. Appl., 62 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2173660	AA	19961011	CA 1996-2173660	19960409
	EP 801063	A1	19971015	EP 1996-105590	19960409
	EP 801063	B1	20030115		
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
	AT 231136	E	20030215	AT 1996-105590	19960409
PRAI	IN 1995-MA431	A	19950410		
	EP 1996-105590	A	19960409		

OS MARPAT 126:186077
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

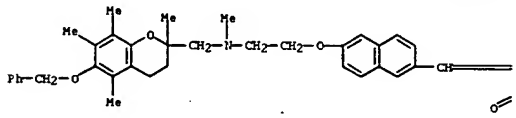
AB The title compds. [I; A = (un)substituted unsatd. aliphatic, alicyclic, aromatic, heterocyclic groups; B = (un)substituted C1-10 divalent alkylene, alkenyl; D = (un)substituted divalent alkenyl, alkynyl, aralkyl, alkoxycarbonyl, aryloxycarbonyl groups; X = CH2, C(O), S, O, etc.; Ar = (un)substituted divalent aromatic, single or fused ring system, and ring may contain one or more hetero atoms such as N, S, O; R1, R2 = H, a bond, substituent; R1R2 = form a part of a ring; R = H, (un)substituted C1-10 alkenyl, aralkyl, etc.], useful for the treatment of type II diabetes, for prophylactic treatment of hyperlipidemia, hypertension, cardiovascular diseases including atherosclerosis as well as certain eating disorders, were prepared Thus, reaction of aldehyde II with 2,4-thiazolidinedione in PhMe containing piperidine and PhCOOH followed by treatment of the thiazolidine-2,4-dione III with concentrated HCl in AcOH afforded IV which showed 43% reduction of RBS (random blood sugar) level in a 9 days treatment of male C57BL/KsJ-db/db mice.

IT 187340-65-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel thiazolidinediones having antidiabetic, hypolipidemic and antihypertensive properties)

RN 187340-65-4 CAPLUS

L5 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2,4-Thiazolidinedione, 5-[[6-[2-[[[3,4-dihydro-2,5,7,8-tetramethyl-6-(phenylmethoxy)-2H-1-benzopyran-2-yl]methyl]methylamino]ethoxy]-2-naphthalenyl]methylene]- (9CI) (CA INDEX NAME)

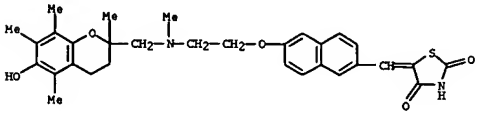
PAGE 1-A



PAGE 1-B

IT 187340-76-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel thiazolidinediones having antidiabetic, hypolipidemic and antihypertensive properties)

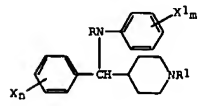
RN 187340-76-7 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[6-[2-[[(3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl)methyl]methylamino]ethoxy]-2-naphthalenyl]methylene]- (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:649837 CAPLUS
DN 119:249837
TI [Phenyl(4-piperidinyl)methyl]phenylamine cardiovascular agents
IN Shanklin, James R., Jr.
PA A. H. Robins Co., Inc., USA
SO U.S., 38 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5198449	A	19930330	US 1990-515620	19900427
PRAI	US 1990-515620		19900427		

OS MARPAT 119:249837
GI

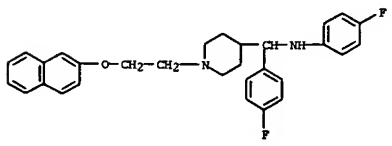


I

AB The title compds. I [R = H, lower alkyl, carbonyl derivs., etc.; R1 = H, lower alkyl, cycloalkyl, F3CCH2, hydrocarbyl, etc.; X, X1 = halogen, lower alkyl, CF3, alkoxy, (un)substituted PhO, etc.; m = 0, 2; n not defined], possessing Ca-channel blocking activity and useful in the treatment of angina, hypertension, etc., were prepared Thus, N,α-bis(4-fluorophenyl)-4-piperidinemethanamine was condensed with 3-chloro-1-(4-acetyl-2-methoxyphenoxy)propane, forming I [R = H, R1 = (CH2)3OC6H3(OMe)Ac-2,4; X, X1 = 4-F, m = n = 1] (II) as the hemihydrate. II had Pa2 [i.e., Ca channel-blocking values after the method of J. M. Van Rossum (1963)] in isolated rabbit aorta of 8.80, vs. 8.0 for verapmil.

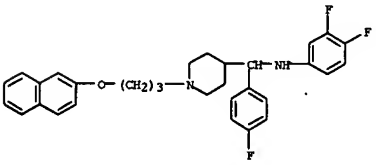
IT 149452-68-6 149452-85-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(calcium channel blocking and antihypertensive and angina-ameliorating activities of)

RN 149452-68-6 CAPLUS
CN 4-Piperidinemethanamine, N,α-bis(4-fluorophenyl)-1-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



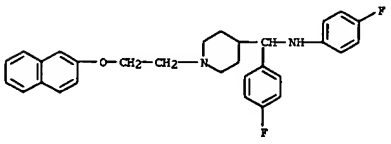
RN 149452-85-7 CAPLUS

L5 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 4-Piperidinemethanamine, N-(3,4-difluorophenyl)-α-(4-fluorophenyl)-1-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



IT 149434-78-6P 149434-88-8P 149434-92-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angina-treatment and calcium channel blocking activity of)

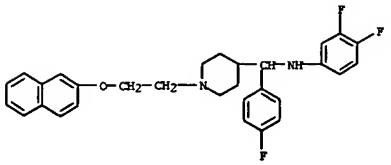
RN 149434-78-6 CAPLUS
CN 4-Piperidinemethanamine, N,α-bis(4-fluorophenyl)-1-[2-(2-naphthalenyloxy)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

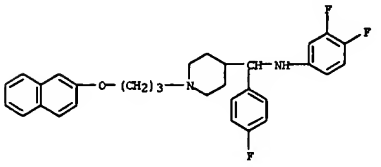
RN 149434-88-8 CAPLUS
CN 4-Piperidinemethanamine, N-(3,4-difluorophenyl)-α-(4-fluorophenyl)-1-[2-(2-naphthalenyloxy)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



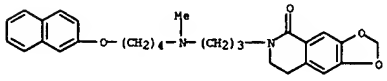
●2 HCl

RN 149434-92-4 CAPLUS
CN 4-Piperidinemethanamine, N-(3,4-difluorophenyl)-α-(4-fluorophenyl)-1-[3-(2-naphthalenyloxy)propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



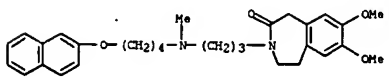
●2 HCl

L5 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
AB The title compds. [I; A = CH2, CO, CH2CH2, CH:CH, CH2CO, CH2CS, COCO, CH(OH)CO; E = C2-4 alkylene; G = C1-5 alkylene; L = bond, O; R1, R2 = alkyl, alkoxy; R1R2 = C1-2 alkylenedioxy; R3 = H, alkyl; R4, R5, R6 = H, alkyl, alkoxy; n = 1, 2] were prepared 2-(2-Bromoethyl)-6-methoxynaphthalene and 3-[(N-methylamino)-3-propyl]-7,8-dimethoxy-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one were heated 90 min at 100° to give 37.8% title compound II which caused a reduction of 184 beats/min in anesthetized rats 20 min after administration of 5.0 mg/kg i.v. Tablets were prepared each containing II 7.5, starch 59.5, lactose 48.0, polyvinylpyrrolidone 4.0, and Mg stearate 1.0 mg.
IT **115600-27-6P 115600-38-9P 115600-45-8P**
115600-55-0P 115600-82-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as heart-rate lowering agent)
RN 115600-27-6 CAPLUS
CN 1,3-Dioxolo[4,5-g]isoquinolin-5(6H)-one, 7,8-dihydro-6-[3-[methyl[4-(2-naphthalenyloxy)butyl]amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 115600-38-9 CAPLUS
CN 2H-3-Benzazepin-2-one, 1,3,4,5-tetrahydro-7,8-dimethoxy-3-[3-[methyl[4-(2-naphthalenyloxy)butyl]amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



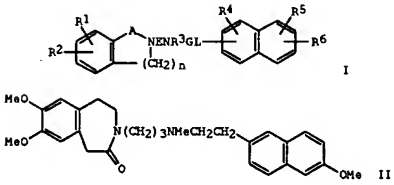
● HCl

RN 115600-45-8 CAPLUS
CN 1H-Isoindol-1-one, 2,3-dihydro-5,6-dimethoxy-2-[3-[methyl[4-(2-naphthalenyloxy)butyl]amino]propyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1988:473355 CAPLUS
DN 109:73355
TI Preparation of [(benzo-N-heterocyclyl)alkyl](naphthylalkyl)amines as cardiovascular agents.
IN Heider, Joachim; Psiorz, Manfred; Bomhard, Andreas; Hauel, Norbert; Narr, Berthold; Noll, Klaus; Lillie, Christian; Kobinger, Walter; Daemmgen, Juergen
PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3631013	A1	19880324	DE 1986-3631013	19860912
	EP 259793	A1	19880316	EP 1987-112920	19870904
	EP 259793	B1	19920701		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 77818	E	19920715	AT 1987-112920	19870904
	DD 271517	A5	19890906	DD 1987-306853	19870910
	DK 8704763	A	19880313	DK 1987-4763	19870911
	FI 8703934	A	19880313	FI 1987-3934	19870911
	NO 8703815	A	19880314	NO 1987-3815	19870911
	AU 8778295	A1	19880317	AU 1987-78295	19870911
	AU 605789	B2	19910124		
	JP 63079876	A2	19880409	JP 1987-228238	19870911
	HU 45019	A2	19880530	HU 1987-4057	19870911
	HU 201020	B	19900928		
	ZA 8706805	A	19890530	ZA 1987-6805	19870911
	US 4871735	A	19891003	US 1987-96097	19870911
	IL 83871	A1	19910512	IL 1987-83871	19870911
PRAI	DE 1986-3631013	A	19860912		
	EP 1987-112920	A	19870904		

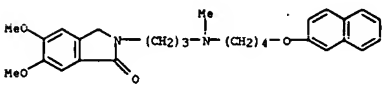
OS CASREACT 109:73355; MARPAT 109:73355
GI



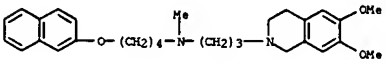
I

II

L5 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

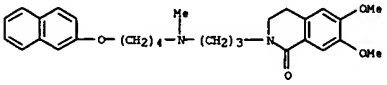


RN 115600-55-0 CAPLUS
CN 2(1H)-Isoquinolinepropanamine, 3,4-dihydro-6,7-dimethoxy-N-methyl-N-[4-(2-naphthalenyloxy)butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



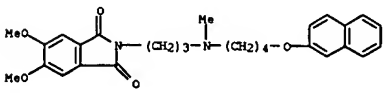
●2 HCl

RN 115600-82-3 CAPLUS
CN 1(2H)-Isoquinolinone, 3,4-dihydro-6,7-dimethoxy-2-[3-[methyl[4-(2-naphthalenyloxy)butyl]amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



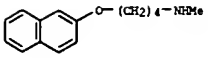
● HCl

IT **115600-46-9 115600-83-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of heart-rate lowering agents)
RN 115600-46-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5,6-dimethoxy-2-[3-[methyl[4-(2-naphthalenyloxy)butyl]amino]propyl]- (9CI) (CA INDEX NAME)

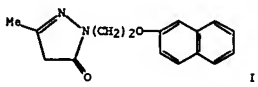


RN 115600-83-4 CAPLUS
CN 1-Butanamine, N-methyl-4-(2-naphthalenyloxy)- (9CI) (CA INDEX NAME)

L5 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

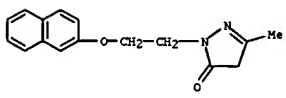


L5 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN ,
AN 1986:28600 CAPLUS
DN 104:28600
TI Effects of nafazatrom on cardiovascular, sympathetic, and endocrine responses to hemorrahagic shock in conscious rats
AU Feuerstein, Giora; Bayorh, Mohamed A.; Stull, R.; Goldstein, D. S.; Zerbe, R. L.; Ramwell, P. W.; Faden, Alan I.
CS Dep. Neurol., Uniformed Serv. Univ. Health Sci., Bethesda, MD, 20814-4799, USA
SO Circulatory Shock (1985), 17(3), 223-32
CODEN: CRSHAG; ISSN: 0092-6213
DT Journal
LA English
GI



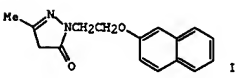
I

AB The effect of nafazatrom (I) [59040-30-1] on cardiovascular, sympathetic, and endocrine consequences to moderate or severe hemorrhagic shock was studied in the conscious rat. Nafazatrom (2 mg/kg, i.v.) had no effect on the blood pressure, heart rate, and circulatory norepinephrine [51-41-2], vasopressin [11000-17-2] and leukotriene C4 [72025-60-6] responses to bleeding. Nafazatrom significantly reduced plasma TXB2 [54397-85-2] and 6-keto-PGF1α [58962-34-8] and blocked the increment in these cyclooxygenase [39391-18-9] metabolites in response to hemorrhage. Thus, nafazatrom does not increase survival after moderate hypovolemic hypotension and decreases survival to severe hemorrhage. Nafazatrom does not modify the cardiovasclar, sympathetic, and neuroendocrine responses to hypovolemic hypotension.
IT 59040-30-1
RL: BIOL (Biological study)
(cardiovascular and neuroendocrine and sympathetic response to, in hemmorhagic shock)
RN 59040-30-1 CAPLUS
CN 3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



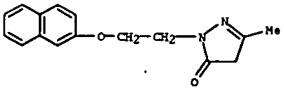
L5 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:499072 CAPLUS
DN 99:99072
TI In vivo and in vitro effects of nafazatrom (Bay g 6575), an antithrombotic compound, on arachidonic acid metabolism in platelets and vascular tissue
AU Fischer, Sven; Struppler, Michael; Weber, Peter C.
CS Med. Klin. Innenstadt, Univ. Muenchen, Munich, 8000/2, Fed. Rep. Ger.
SO Biochemical Pharmacology (1983), 32(14), 2231-6
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
GI



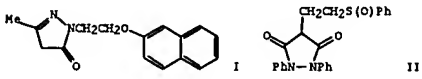
I

AB Nafazatrom (I) [59040-30-1] given acutely to male volunteers, had no effect on platelet aggregation, associated thromboxane B2 (TXB2) [54397-85-2] formation or the evaluated hormonal, renal and cardiovascular parameters. Only slight increases in plasma levels of 6-keto-PGF1α [58962-34-8] and in platelet counts were observed However, a marked influence of nafazatrom on arachidonic acid [506-32-1] metabolism in certain in vitro systems was found. Prostaglandin synthesis by rabbit kidney cortex microsomes was significantly enhanced, PGI2 [35121-78-9] being stimulated the most. In normal human platelets, arachidonic acid metabolism was not influenced significantly by nafazatrom which was taken up by the platelets in a dose-dependent manner. In contrast, in platelets with a high peroxide level probably due to depletion of reducing cofactors, 12-hydroperoxyeicosatetraenoic acid [71030-35-8] was transformed to 12-hydroxyeicosatetraenoic acid [71030-37-0] by nafazatrom, while the formation of TXB2 was stimulated. Apparently, nafazatrom may act as a reducing cofactor for the hydroperoxide involved in the cyclooxygenase- and lipoxygenase-pathways of arachidonic acid metabolism
IT 59040-30-1
RL: BIOL (Biological study)
(arachidonic acid metabolism in human platelets and laboratory animal kidney microsomes response to)
RN 59040-30-1 CAPLUS
CN 3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



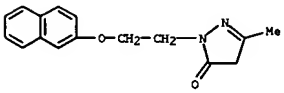
L5 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:499064 CAPLUS
DN 99:99064
TI The effect of drugs on prostacyclin synthesis
AU McEvoy, F.; Patel, M. K. N.; Evans, C.; Felton, C.
CS Dep. Chem. Biochem., Liverpool Polytech., Liverpool, L3 3AF, UK
SO Biochemical Society Transactions (1983), 11(4), 358
CODEN: BCSTB5; ISSN: 0300-5127
DT Journal
LA English
GI

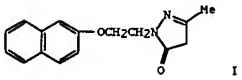


I II

AB Prostacyclin [35121-78-9] formation by human endothelial cells was inhibited by nitroglycerin [55-63-0] and its metabolites NaNO2 and NaNO3, as well as by Bay g 6575 (I) [59040-30-1], an antithrombotic drug, and by sulfinpyrazone (II) [57-96-5], a uricosuric drug that has been reported to have antithrombotic activity. The results with I do not support the proposal by J. Vermylen et al. (1979) that I may act by stimulating endogenous prostacyclin formation.
IT 59040-30-1
RL: BIOL (Biological study)
(prostacyclin formation by human endothelial cells response to)
RN 59040-30-1 CAPLUS
CN 3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

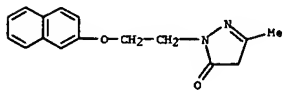


L5 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:155339 CAPLUS
DN 96:155339
TI Increased release of vascular prostacyclin-like activity after long-term treatment of diabetic rats with Bay g 6575
AU Chamone, D. A. F.; Van Damme, B.; Carreras, L. O.; Vermylen, J.
CS Cent. Thromb. Vasc. Res., Univ. Leuven, Louvain, Belg.
SO Haemostasis (1981), 10(6), 297-303
CODEN: HMTSB7; ISSN: 0301-0147
DT Journal
LA English
GI

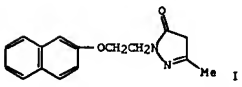


I

AB In rats treated with streptozotocin, prostacyclin [35121-78-9]-like activity released from the aorta was, if anything, slightly augmented 9-11 mo after treatment. Other changes indicative of diabetes mellitus were observed, including kidney lesions and cataract formation. The antithrombotic compound Bay g 6575 (I) [59040-30-1] increased the release of prostacyclin not only in diabetic but also in normal animals, but did not affect kidney lesion and cataract formation. I may be useful for treatment of diabetic vascular lesions.
IT 59040-30-1
RL: BIOL (Biological study)
(prostacyclin release by aorta increase by, in diabetes)
RN 59040-30-1 CAPLUS
CN 3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

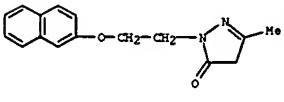


L5 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:10990 CAPLUS
DN 94:10990
TI Decreased vascular prostacyclin (PGI2) in diabetic rats. Stimulation of PGI2 release in normal and diabetic rats by the antithrombotic compound Bay g 6575
AU Carreras, L. O.; Chamone, D. A. F.; Klerckx, P.; Vermylen, J.
CS Cent. Thrombosis Vasc. Res., Univ. Leuven, Leuven, Belg.
SO Thrombosis Research (1980), 19(4-5), 663-70
CODEN: THBRAA; ISSN: 0049-3848
DT Journal
LA English
GI



I

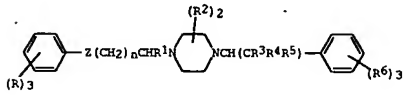
AB Four groups of 7 male Wistar rats were treated as follows: one group of normal and another of streptozotocin-induced diabetic rats were administered Bay g 6575 (I) [59040-30-1] orally (20) mg/kg/day); the other 2 groups of normal and diabetic rats did not receive any drug. From these animals aortic tissue was removed for PGI2 [35121-78-9] bioassay based upon its platelet aggregation inhibitory effect. The diabetic rats released less PGI2 than the controls. I increased the release of PGI2 in normal and diabetic animals. This study confirms previous reports concerning the decrease of PGI2 release in exptl. induced diabetes, and demonstrates that it can be stimulated by I, a compound with marked antithrombotic activity in exptl. models.
IT 59040-30-1
RL: BIOL (Biological study)
(PGI2 release stimulation by, in blood vessels, in diabetes)
RN 59040-30-1 CAPLUS
CN 3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:76551 CAPLUS
DN 92:76551
TI Improvements in or relating to new piperazine derivatives, a process for their preparation and their applications
IN Falconnet, Bernard; Pinhas, Henri
PA SERDEX Societe d'Etudes de Recherches de Diffusion et d'Exploitation, Fr.
SO Brit., 16 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1546238	A	19790411	GB 1976-14112	19760407
	US 4127661	A	19781128	US 1977-782051	19770328
	CH 620913	A	19801231	CH 1977-3865	19770328
	FR 2347358	A1	19771104	FR 1977-9504	19770330
	FR 2347358	B1	19800829		
	DE 2714561	A1	19771020.	DE 1977-2714561	19770401
	BE 853298	A1	19771006	BE 1977-176463	19770406
	NL 7703824	A	19771011	NL 1977-3824	19770406
	ES 458044	A1	19780316	ES 1977-458044	19770406
	CA 1085847	A1	19800916	CA 1977-275758	19770406
	JP 52131591	A2	19771104	JP 1977-39908	19770407
PRAI	GB 1976-14112	A	19760407		

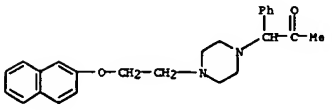
GI



I

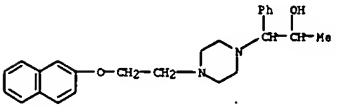
AB The preparation is described of piperazines I (substituents R = H, halo, CF3, C1-6 alkyl, C1-6 hydroxyalkyl, C1-6 alkoxy, C1-6 alkylcarbonyl, C3-7 cycloalkylcarbonyl; RR = benzo; R1 = H, C1-6 alkyl; substituents R2 = H, C1-6 alkyl; R3 = OH, C1-6 alkoxy, C1-6 alkanoyloxy; R4 = H; R3R4 = O; R5 = C1-6 alkyl, R3C6H4; substituents R6 = H, halo, CF3, C1-6 alkyl, C1-6 alkoxy; n = 1, 2, 3; Z = O, S) and their acid addition salts. Thus, 1-[N-(2-phenoxy-1-ethyl)piperazino]-1-phenylacetone was prepared from PhCH2COMe by sequential treatment with Br, N-(2-hydroxyethyl)piperazine, SOCl2, and PhOH-aqueous NaOH. I showed their usefulness as vasodilators, especially as peripheral vasodilators for the cardiovascular system, in dogs and isolated organs.
IT 65488-54-2P 65488-59-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(peripheral vasodilator, preparation of)
RN 65488-54-2 CAPLUS
CN 2-Propanone, 1-[4-[2-(2-naphthalenyloxy)ethyl]-1-piperazinyl]-1-phenyl-,

L5 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
dihydrochloride (9CI) (CA INDEX NAME)



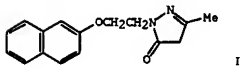
●2 HCl

RN 65488-59-7 CAPLUS
CN 1-Piperazineethanol, α-methyl-4-[2-(2-naphthalenyloxy)ethyl]-β-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



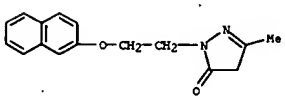
●2 HCl

L5 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1979:162095 CAPLUS
DN 90:162095
TI The antithrombotic activity of BAY g 6575
AU Seuter, F.; Busse, W. D.; Meng, K.; Hoffmeister, F.; Moeller, E.; Horstmann, H.
CS Inst. Pharmakol. Chem. Wiss. Labor Pharma, Bayer A.-G., Wuppertal, Fed. Rep. Ger.
SO Arzneimittel-Forschung (1979), 29(1), 54-9
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA English
GI

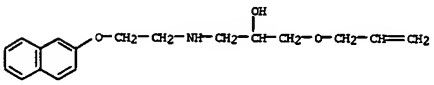


I

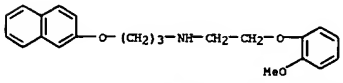
AB The activity of BAY g 6575 (I) [59040-30-1] was evaluated in animal models of exptl. thrombosis caused by traumatically induced damage of vessel segments. After prophylactic administration of I (0.3 mg/kg, orally) to rats, the thrombus formation was significantly reduced in the carotid artery as well as in the jugular vein. The thrombosis formation in the femoral arteries of rabbits was inhibited at a minimal ED of 1 mg/kg. The incidence of occlusive thrombi was not influenced. I was 10 times more potent than acetylsalicylic acid (ASA). In the arterial system the thrombus formation was frequently completely abolished. I, 0.2 mg/kg orally (venous system) or 0.6 mg/kg orally, (arterial system) in two single doses, reduced the weight of thrombi in rats. The duration of action was 4 to 6 h. There was no effect on blood coagulation, fibrinolysis or platelet aggregation, suggesting that the drug does not act via these systems. There is some evidence that the antithrombotic activity of I may result from effects on components or functions of the blood vessel wall. I is practically devoid of analgesic, antiphlogistic, cardiovasculer and autonomic effects and has a low toxicity (LD50 >10000 mg/kg orally) in mice, rats and rabbits.
IT 59040-30-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(thrombolytic activity of)
RN 59040-30-1 CAPLUS
CN 3H-Pyrazol-3-one, 2,4-dihydro-5-methyl-2-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1966:502338 CAPLUS
DN 65:102338
OREF 65:19145e-f
TI Some cardiovascular effects of a series of aryloxyalkylamines. II
AU Augstein, J.; Austin, W. C.; Bartram, C. A.; Boscott, R. J.
CS Chem. Res. Dept., Pfizer Ltd., Sandwich, UK
SO Journal of Medicinal Chemistry (1966), 9(6), 812-18
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB cf. CA 62, 16781h. A number of N-substituted phenoxyethylamines have been prepared and their antihypertensive activity examined in anesthetized normotensive cats and neurogenically hypertensive dogs. Examination of the structure-activity relations shows that the 2-(2-methoxyphenoxy)ethylamino moiety is necessary for maximum effect. The structural requirements in further N substitution are much less specific. A summary of the results of clin. trials with 3 compds. is included.
IT 10415-18-6, 2-Propanol, 1-(allyloxy)-3-[[2-(2-naphthyloxy)ethyl]amino]-
(preparation and antihypertension activity of)
RN 10415-18-6 CAPLUS
CN 2-Propanol, 1-(allyloxy)-3-[[2-(2-naphthyloxy)ethyl]amino]- (7CI, 8CI) (CA INDEX NAME)

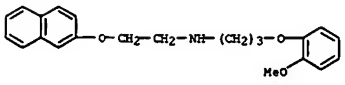


L5 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1965:93545 CAPLUS
DN 62:93545
OREF 62:16781h,16782a
TI Some cardiovascular effects of a series of aryloxyalkylamines. I
AU Augstein, J.; Austin, W. C.; Boscott, R. J.; Green, S. M.; Worthing, C. R.
CS Pfizer Ltd., Sandwich, UK
SO Journal of Medicinal Chemistry (1965), 8(3), 356-67
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB N-Substituted phenoxyalkylamine derivs. (128) have been prepared and tested for their antihypertensive activity. Observations on structure-activity relations show that the 2-(2-methoxyphenoxy)ethylamine moiety is essential to obtain maximum activity; structural requirements of the group attached to the N atom are less specific. Maximum activity, as measured by fall in blood pressure, was obtained with the following N-substituted 2-(2-methoxyphenoxy)ethylamines: 3-(2,5-dimethoxyphenoxy)propyl-, 3-(2,4-dimethoxyphenoxy)propyl-, 3-(2-methoxy-5-acetylphenoxy)propyl-, 3-(2,4,5-trimethoxyphenoxy)propyl-, and 3-(4-methoxyphenoxy)-2-hydroxypropyl-. These compds. represent, among others of outstanding activity, the optimum substitution pattern with respect to antihypertensive activity displayed. A high degree of activity was accompanied by tachycardia, indicating a failure to block β-receptors in the heart. An attempt is made to correlate the difference in affinity for α- and β-adrenergic receptors with chemical structures.
IT **3245-46-3**, Propylamine, N-[2-(o-methoxyphenoxy)ethyl]-3-(2-naphthyloxy)-
(blood pressure lowering by)
RN 3245-46-3 CAPLUS
CN Propylamine, N-[2-(o-methoxyphenoxy)ethyl]-3-(2-naphthyloxy)- (7CI, 8CI) (CA INDEX NAME)



IT **3351-56-2**, Propylamine, 3-(o-methoxyphenoxy)-N-[2-(2-naphthyloxy)ethyl]-, hydrochloride
(preparation of)
RN 3351-56-2 CAPLUS
CN Propylamine, 3-(o-methoxyphenoxy)-N-[2-(2-naphthyloxy)ethyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

L5 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



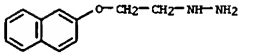
● HCl

L5 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1964:60646 CAPLUS
DN 60:60646
OREF 60:10599c-h
TI Substituted hydrazines
IN Drain, David J.; Williams, Haydn W. R.; Salaman, Ann M.; Howes, John G. B.
PA T. J. Smith & Nephew Ltd.
SO 14 pp.
DT Patent
LA Unavailable

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 945474		19640102	GB	19590410

AB The title compds. are represented by R2XCH2CHR1NHNH2 (I). A solution of 53.75 g. 2-(4-tolyloxy)ethyl bromide in 1800 ml. EtOH containing 92 ml. N2H4.H2O was refluxed 3 hrs., evaporated to dryness in vacuo, treated with solid KOH, and extracted repeatedly with Et2O. The combined ethereal exts. were dried over KOH, the solvent removed and the residue distilled to give 72% 2-(4-tolyloxy)ethylhydrazine, b10 151-4° which crystallized on standing at 0°; HCl salt m. 185-7° (decomposition). Also prepared were I, R1 = H, X' = O (R2, b.p./mm., % yield, and salt m.p. given): 2-methylphenyl, 93-7°/0.05, 70, HCl salt 140-1°; 3,4-dimethylphenyl, 117-18°/0.2, 41, acid maleate 119-20°; 3,5-dimethylphenyl, 113-20°/0.3, 66, HCl salt 156°; 2-benzylphenyl, -, -, HCl salt 127-7.5°; α-naphthyl, 140°/0.15, 65, oxalate 170° (decomposition) (BuOH), acid maleate 126° (decomposition); β-naphthyl, - [m. 49-51° (petr. ether)], -, acid oxalate 1° (decomposition) (BuOH), acid maleate 126-8°; 4-hydroxyphenyl, - (m. 106°), -, HCl salt 119-20°, acid sulfate 143°; 2-methoxyphenyl, 111-16°/0.05, 71, HCl salt 111-13.5°; 3-methoxyphenyl, 118-23°/0.4, -, acid maleate 78-9°; 4-methoxyphenyl, 125-6°/0.4, 66, HCl salt 168-70° (decomposition); 4-butoxyphenyl, 145-56°/0.25, 65, acid maleate 117-18° (decomposition); 4-methylthiophenyl, 115-30°/0.01, 54, acid maleate 116-18°; 2,4-dimethoxyphenyl, 144-50°/0.1, 43, HCl salt 109-10°; 2,5-dimethoxyphenyl, - (m. 46°), 100, HCl salt 173-4°; 2,6-dimethoxyphenyl, 138-49°/0.6, 47, HCl salt 163-4°; 3,4-dimethoxyphenyl, 155-60°/0.2-0.3, 55, HCl salt 149-51°; 3,4,5-trimethoxyphenyl, 170-6°/0.1, 59, HCl salt 134-50°; 2-methoxy-4-allylphenyl, 137-43°/0.1, -, acid maleate 85-7°; 3,4-methylenedioxyphenyl, 126-32°/0.03, 41, HCl salt 161-3°; 3-chlorophenyl, 108-11°/0.05, 68, acid maleate 97-8°(amorphous); 4-chlorophenyl, 128-30°/0.2, 81, HCl salt 170-6° (decomposition). Also prepared were I, R1 = H, X = S (R2, b.p./mm., % yield, and salt m.p. given): Ph, 101-3°/0.05, 58, HCl salt 138-40, acid sulfate 177° (decomposition); 4-methoxyphenyl, 120-6°/0.15, 85, acid maleate 113-14°; 3,4-dichlorophenyl, 160-6°/0.2, 44, oxalate 179° (decomposition) (BuOH/H2O), acid maleate 100-102°. Also prepared were I, R1 = Me, X = O (R2, b.p./mm., % yield, and salt m.p. given): Ph, 26-54°/0.3, -, acid maleate 107-9°, acid phosphate 135-40°, acid tartrate 116-17°; 2-methoxyphenyl, 106-110°/0.05, 80, HCl salt 126-9°; 4-methoxyphenyl, 112-18°/0.15, 69, acid maleate 102-4°; 4-methylthiophenyl, 124-37°/0.03, 48, acid maleate 108-110°; and I, R1 = Et, X = O (R2 b.p., % yield, salt m.p. given): Ph, 80-95°/0.15, 76, HCl salt 114-15°; I, R1 = Pr, X = O: Ph, 80-94°/0.05-0.1, 93, acid maleate 87-8°; I, R1 = Me, X = S: Ph, 96-100°/0.1-0.15, 78, acid maleate 95-6°; 4-methoxyphenyl, -, -, acid maleate (from crude base) 117-17.5°; I, R1 = H, X = NH: Ph, 128-32°/0.05, 64, HCl salt 190-1°

L5 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(decompn.) (HOAc) and I, R1 = Me, X = NH: Ph, 118-22°/0.04, -, acid maleate 128° (decompn.). All compds., except where otherwise indicated, were crystd. from either EtOH or EtOH-EtOAc. These compds. are useful therapeutically for the alleviation of mental disease, rheumatoid arthritis, certain cardiovascular diseases and those conditions which have been found amenable to treatment with monoamine oxidase inhibitors.
IT **59040-29-8**, Hydrazine, [2-(2-naphthyloxy)ethyl]-
94946-01-7, Hydrazine, [2-(2-naphthyloxy)ethyl]-, oxalate
98110-49-7, Hydrazine, [2-(2-naphthyloxy)ethyl]-, maleate
(preparation of)
RN 59040-29-8 CAPLUS
CN Hydrazine, [2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 94946-01-7 CAPLUS
CN Hydrazine, [2-(2-naphthyloxy)ethyl]-, oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 59040-29-8
CMF C12 H14 N2 O

CM 2

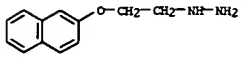
CRN 144-62-7
CMF C2 H2 O4

RN 98110-49-7 CAPLUS
CN Hydrazine, [2-(2-naphthyloxy)ethyl]-, maleate (7CI) (CA INDEX NAME)

CM 1

CRN 59040-29-8
CMF C12 H14 N2 O

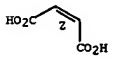
L5 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



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L3            2271 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 15:25:11 ON 14 MAR 2005
L4             611 S L3
L5              36 S L4 AND (CARDIOVASCULAR OR DIABETES OR HYPERGLYCEMIA)

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L6             STRUCTURE UPLOADED
L7              0 S L6
L8              46 S L6 FULL

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L9              8 S L8

=> d que l9 stat
L6             STR
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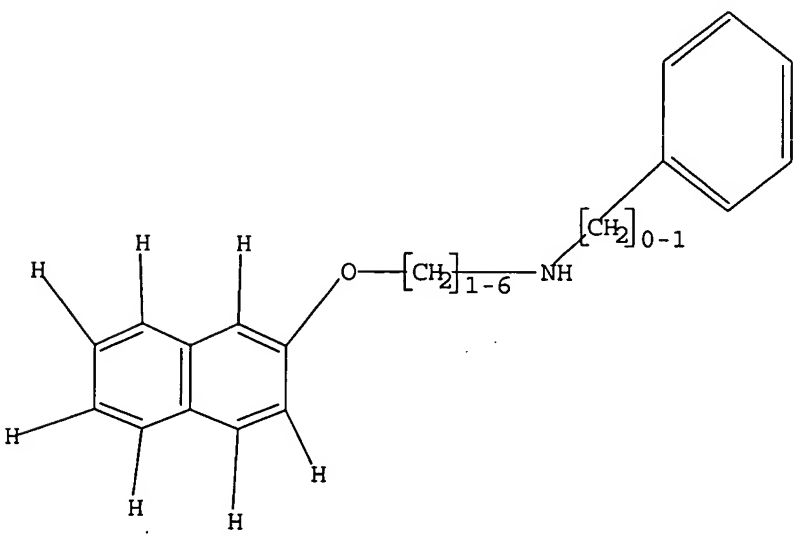


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L9               8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L8


=> d 1-8 bib abs hitstr
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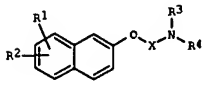
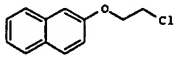
L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:802559 CAPLUS
DN 141:295752
TI A preparation of (aminoalkoxy)naphthalene derivatives, useful as antidiabetic agents
IN Chaturvedi, Devdutt; Kumar, Atul; Rastogi, Reema; Srivastava, Arvind; Tewari, Priti; Ahmad, Rehan; Chander, Ramesh; Puri, Anju; Bhatia, Geetika; Rizvi, Farhan; Rastogi, Anil Kumar; Ray, Suprabhat
PA Council of Scientific & Industrial Research, India
SO U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20040192688	A1	20040930	US 2003-693098	20031027
PRAI US 2003-458413P	P	20030331		

OS CASREACT 141:295752; MARPAT 141:295752
GI



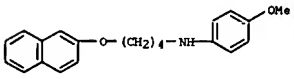
I



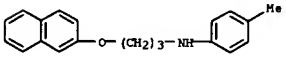
II

AB The invention relates to a preparation of (aminoalkoxy)naphthalene derivs. of formula I [wherein: R1 and R2 are independently selected from H or (cyclo)alkyl; R3 and R4 are independently selected from H, (cyclo)alkyl, or (hetero)aryl, etc.; X is (CH2)1-6], useful as antihyperglycemic agents and for the treatment and prevention of cardiovascular disorders (CVS) such as lipid lowering effects. The prepared naphthalene derivs. were screened for antidiabetic, hypoglycemic, and lipid lowering activities. For instance, prepared 2-(naphthyloxy)-1-chloroethane derivative (II) decreased glucose load by 33.6%. Treatment with compound II lowered the plasma levels of cholesterol, phospholipid, and triglyceride by 26%, 33%, and 28%, resp.
IT 765316-05-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (aminoalkoxy)naphthalene derivs., useful as agents for treatment or prophylaxis of diabetes and related metabolic disorders)
RN 765316-05-0 CAPLUS
CN Benzenamine, 4-methoxy-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

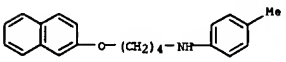
L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



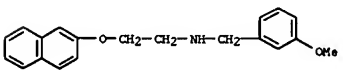
RN 765316-10-7 CAPLUS
CN Benzenamine, 4-methyl-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



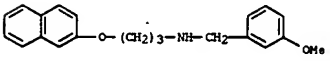
RN 765316-11-8 CAPLUS
CN Benzenamine, 4-methyl-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



RN 765316-12-9 CAPLUS
CN Benzenemethanamine, 3-methoxy-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)

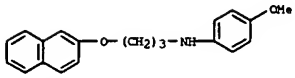


RN 765316-13-0 CAPLUS
CN Benzenemethanamine, 3-methoxy-N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)

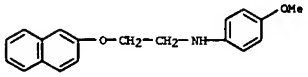


RN 765316-14-1 CAPLUS
CN Benzenemethanamine, 3-methoxy-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 356533-10-3P 356533-12-5P 356533-39-6P
765316-08-3P 765316-10-7P 765316-11-8P
765316-12-9P 765316-13-0P 765316-14-1P
765316-15-2P 765316-16-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (aminoalkoxy)naphthalene derivs., useful as agents for treatment or prophylaxis of diabetes and related metabolic disorders)
RN 356533-10-3 CAPLUS
CN Benzenamine, 4-methoxy-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 356533-12-5 CAPLUS
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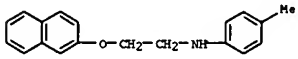

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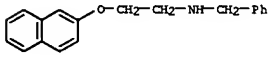
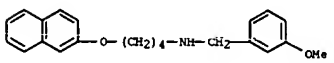


RN 765316-08-3 CAPLUS
CN Benzenamine, 4-methoxy-N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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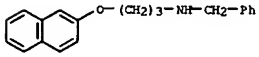
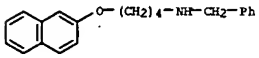
CN Benzenemethanamine, N-[3-(2-naphthalenyloxy)propyl]- (9CI) (CA INDEX NAME)



RN 765316-16-3 CAPLUS
CN Benzenemethanamine, N-[4-(2-naphthalenyloxy)butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:277045 CAPLUS
DN 122:46487
TI CAT-1 inhibitors, their synthesis, pharmaceutical compositions, and methods of use
IN Guthrie, Robert W.; Mullin, John G., Jr.; Kachensky, David F.; Kierstead, Richard W.; Tilley, Jefferson W.; Heathers, Guy P.; Higgins, Alan J.; Lemahieu, Ronald A.
PA Hoffman-La Roche Inc., USA
SO U.S., 85 pp. Cont.-in-part of U.S. Ser. No. 698, 014, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5344843	A	19940906	US 1992-850620	19920313
	RU 2059603	C1	19960510	RU 1992-5011784	19920131
	EP 512352	A2	19921111	EP 1992-107135	19920427
	EP 512352	A3	19930310		
	EP 512352	B1	19960327		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	AT 136018	E	19960415	AT 1992-107135	19920427
	AU 9216003	A1	19921112	AU 1992-16003	19920504
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	CA 2068076	AA	19921110	CA 1992-2068076	19920506
	ZA 9203279	A	19930127	ZA 1992-3279	19920506
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	JP 07107060	B4	19951115		
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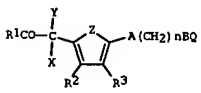
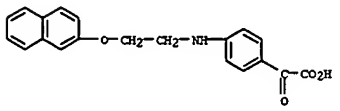
OS MARPAT 122:46487
GI



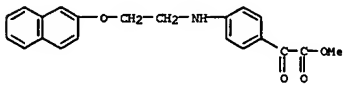
I

AB The invention relates to compds. I (R1 = OH; R2, R3 = H, alkyl, aryl, alkoxy, etc.; X, Y together = O, or one is amino and other is H; Z = S, CR2=CR2'; A = bond, O, S, SO, CHCH, etc.; B = bond, O, S, SO, etc.; Q = Ph, cyclohexyl, pyridinyl, etc.; n = 1-6) and their pharmaceutically acceptable salts, and when appropriate, enantiomers, racemates, diastereomers or mixts. thereof or geometric isomer or mixts. thereof, and pharmaceutically acceptable salts thereof. The compds. inhibit carnitine acyltransferase 1 (CAT-1) and are therefore useful in the prevention of injury to ischemic tissue, and can limit infarct size, improve cardiac

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
function and prevent arrhythmias during and following a myocardial infarction. 5-[[2-(2-Naphthalenyloxy)ethyl]oxy]-α-oxo-2-thiopheneacetic acid (prepn. given) inhibited CAT-1 with an IC50 = 0.05 μM. Tablet and capsule formulations contg. 4-[2-(2-naphthyloxy)ethoxy]-α-oxobenzeneacetic acid are presented.
IT 145795-41-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and pharmaceutical compns. and use of carnitine acyltransferase inhibitor compds.)
RN 145795-41-1 CAPLUS
CN Benzeneacetic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-α-oxo- (9CI) (CA INDEX NAME)



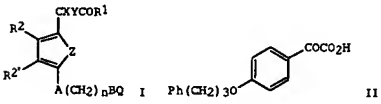
IT 145797-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and pharmaceutical compns. and use of carnitine acyltransferase inhibitor compds.)
RN 145797-13-3 CAPLUS
CN Benzeneacetic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:147306 CAPLUS
DN 118:147306
TI Preparation of α-oxobenzeneacetic acids and related compounds as antiischemics and antiarrhythmics
IN Guthrie, Robert William; Heathers, Guy Phillip; Higgins, Alan John; Kachensky, David Francis; Kierstead, Richard Wightmann; LeMahieu, Ronald Andrew; Mullin, John Guilfoyle, Jr.; Tilley, Jefferson Wright
PA Hoffmann-La Roche, F., AG, Switz.
SO Eur. Pat. Appl., 166 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 512352	A2	19921111	EP 1992-107135	19920427
	EP 512352	A3	19930310		
	EP 512352	B1	19960327		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	US 5344843	A	19940906	US 1992-850620	19920313
PRAI	US 1991-698014	A	19910509		
	US 1992-850620	A	19920313		

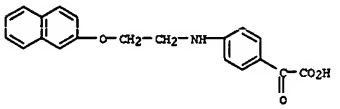
OS MARPAT 118:147306
GI



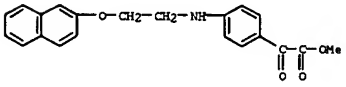
I II

AB Title compds. I [R1 = OH, OR3, NR4R5; 1 of R4, R5 = H, C1-7 (hydroxy)alkyl and the other = H, OH, C1-7 alkyl, C1-7 alkoxy; R3 = (CH2CH2O)nH, CH2CHOHCH2OH, 2,2-dimethyl-1,3-dioxolan-4-yl, CH2CH2NH2, etc.; m = 1-4; R2, R2' = H, C1-7 alkyl, aryl-C1-7 alkyl, C1-7 alkoxy, OH, NH2, C1-7 alkylamino, cyano, halo, SH, etc.; A = bond, O, NR7, S, SO, SO2, C.tplbond.C, CH:CH, CH2CH, NR8CO, CONR9; R7 = H, C1-7 alkyl, acyl; R8,R9 = H, C1-7 alkyl; n = 0-10; B = bond, groups defined for A, CO, CS, (OCH2CH2)nO, etc.; Z = O, S, CR2:CR2', N:CR2, CR2:N, NR11; R11 = H, C1-7 alkyl; XY = O, S, :NOH, alkoxyimino, alkenyloxyimino, hydrazono, etc., or individually 1 of X and Y = halo and the other = H, halo, C1-7 alkyl, aryl-C1-7 alkyl; other possibilities for X and Y; Q = cycloalkyl, aryl, heterocyclyl; with provisos] were prepared as drugs to prevent injury to ischemic tissue and arrhythmias during and after a myocardial infarction. Thus, Me 4-hydroxy-α-oxobenzeneacetate in DMF containing NaH was O-alkylated by Ph(CH2)3Br and the resultant product was hydrolyzed by NaOH in MeOH to give title compound II. II had IC50 of 0.5 μM against carnitine acyltransferase 1 in mitochondria. Over 200 I were prepared Capsules containing I were also prepared
IT 145795-41-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiischemic and antiarrhythmic)
RN 145795-41-1 CAPLUS
CN Benzeneacetic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-α-oxo- (9CI) (CA INDEX NAME)

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



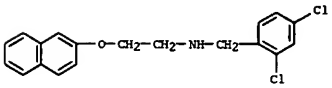
IT 145797-13-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiischemics and antiarrhythmics)
RN 145797-13-3 CAPLUS
CN Benzeneacetic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:545314 CAPLUS
DN 117:145314
TI Preparation of N-dichlorobenzyl-N-2-naphthoxyethylamine as agrochemical microbicide
IN Oda, Akinori; Yoshikawa, Kazutoshi; Tanaka, Akinobu; Suzuki, Yoshiaki
PA Mitsubishi Gas Chemical Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

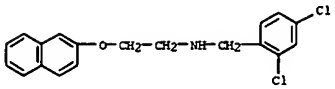
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04149158	A2	19920522	JP 1990-269487	19901009
PRAI JP 1990-269487		19901009		

OS MARPAT 117:145314
AB Agrochem. microbicides contain the title compound as active ingredient. Refluxing 2-α-naphthoxyethyl bromide with 2,4-dichlorobenzylamine and Na2CO3 in EtOH for 8 h gave 67% N-2,4-dichlorobenzyl-N-2-α-naphthoxyethylamine (I). Min. inhibitory concns. of I.HCl against Xanthomonas campestris campestris, X. campestris citri, X. campestris oryzae, X. campestris pruni, and Corynebacterium michiganense michiganense, were 25, 25, 6.3, 12.5, and 12.5 ppm, resp.
IT **143521-96-4P 143521-97-5P 143521-98-6P 143521-99-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as agrochem. microbicide)
RN 143521-96-4 CAPLUS
CN Benzenemethanamine, 2,4-dichloro-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



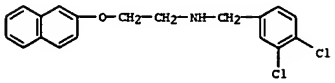
RN 143521-97-5 CAPLUS
CN Benzenemethanamine, 2,4-dichloro-N-[2-(2-naphthalenyloxy)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

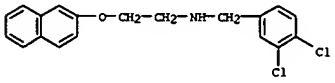


● HCl

RN 143521-98-6 CAPLUS
CN Benzenemethanamine, 3,4-dichloro-N-[2-(2-naphthalenyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 143521-99-7 CAPLUS
CN Benzenemethanamine, 3,4-dichloro-N-[2-(2-naphthalenyloxy)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

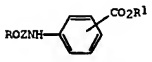


● HCl

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:497454 CAPLUS
DN 95:97454
TI Naphthyloxyalkylaminobenzoic acids, salts and esters thereof
IN Albright, Jay D.; Miner, Thomas G.; Shepherd, Robert C.
PA American Cyanamid Co., USA
SO U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 891,655, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

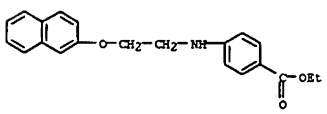
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4260816	A	19810407	US 1979-59915	19790720
AU 8059396	A1	19810122	AU 1980-59396	19800618
ZA 8003668	A	19810624	ZA 1980-3668	19800619
BE 884387	A1	19810119	BE 1980-201460	19800718
PRAI US 1975-639018	A2	19751209		
US 1977-760600	A1	19770119		
US 1978-891655	A2	19780330		
US 1979-59915	A	19790720		
US 1979-59916	A	19790720		
US 1979-59929	A	19790720		

GI

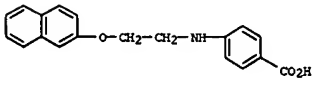


I

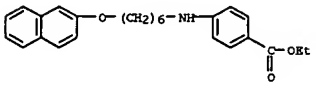
AB Aminobenzoic acids and esters were N-alkylated to yield secondary amines I [R = naphthyl, 1,2,3,4-tetrahydronaphthyl, halo-, cyano-, carbamoyl-, carboxy-, alkanoyl-, alkoxy-, alkanamido-, alkanesulfonamido-, or sulfamoylnaphthyl; Z = linear or branched CnH2n (n is an integer of 2-12); R1 = H, alkyl, Ph, 4-ClC6H4, PhCH2, alkoxyethyl, 3-alkoxy-2-hydroxypropyl, HOCH2CH(OH)CH2, 3-alkanoyloxy-2-hydroxypropyl, pyridylmethyl], which exhibited antidiabetic activity. Thus, 4-H2NC6H4CO2Et was heated with 6-(2-naphthyloxy)-1-hexyl mesylate in DMF to give the resp. I [R = 2-naphthyl, Z = (CH2)6, R1 = Et].
IT **63649-89-8P 63650-09-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidiabetic activity of)
RN 63649-89-8 CAPLUS
CN Benzoic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



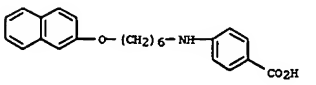
L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 63650-09-9 CAPLUS
CN Benzoic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]- (9CI) (CA INDEX NAME)



IT **63649-86-5P 63649-87-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 63649-86-5 CAPLUS
CN Benzoic acid, 4-[[6-(2-naphthalenyloxy)hexyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 63649-87-6 CAPLUS
CN Benzoic acid, 4-[[6-(2-naphthalenyloxy)hexyl]amino]- (9CI) (CA INDEX NAME)



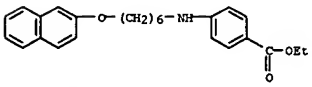
L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:198117 CAPLUS
DN 92:198117
TI Treating lipidemia with aryloxyalkylaminobenzoic acids and esters
IN Albright, Jay D.; Miner, Thomas G.; Shepherd, Robert G.
PA American Cyanamid Co., USA
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4182776	A	19800108	US 1978-891654	19780330
PRAI	US 1975-639018	A2	19751209		
	US 1977-760600	A3	19770119		

AB Aminobenzoates 4-ROXNHC6H4CO2R1 (I, R= optionally substituted Ph or naphthyl, thienyl, furyl, tetrahydronaphthyl; X = alkylene; R1 = H, alkyl, dialkylaminomethyl, HOCH2CH(OH)CH2, alkanoylaminoethyl, 1-methyl-4-piperidyl) were prepared Thus, R2OCH2CH2OH (R2-naphthyl) was treated with MeSO2Cl to give R2OCH2CH2OSO2Cl which was then heated with 4-H2NC6H4CO2Et in (Me2N)3PO at 110°for 16 h to give I (R = 2-naphthyl, X = CH2CH2, R1 = Et, II). In rats fed a diet with 0.1% II serum levels of sterol were lowered 10% and levels of triglyceride were lowered 43%.

IT 63649-86-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deesterification of)

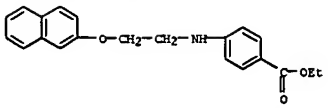
RN 63649-86-5 CAPLUS
CN Benzoic acid, 4-[[6-(2-naphthalenyloxy)hexyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



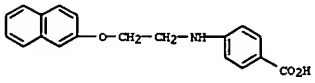
IT 63649-89-8P 63650-09-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and hypolipidemic activity of)

RN 63649-89-8 CAPLUS
CN Benzoic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

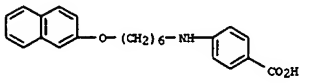


RN 63650-09-9 CAPLUS
CN Benzoic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]- (9CI) (CA INDEX NAME)



IT 63649-87-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 63649-87-6 CAPLUS
CN Benzoic acid, 4-[[6-(2-naphthalenyloxy)hexyl]amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1977:534695 CAPLUS
DN 87:134695
TI Aryloxyalkylaminobenzoic acids and acid esters
IN Albright, Jay Donald; Miner, Thomas Gary; Shepherd, Robert Gordon
PA American Cyanamid Co., USA
SO Ger. Offen., 42 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

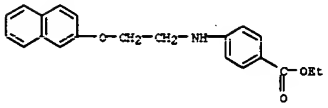
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2654646	A1	19770616	DE 1976-2654646	19761202
	ZA 7606847	A	19771026	ZA 1976-6847	19761116
	IL 50926	A1	19801231	IL 1976-50926	19761117
	AU 7619831	A1	19780525	AU 1976-19831	19761119
	AU 507077	B2	19800131		
	IN 145203	A	19780909	IN 1976-CA2074	19761119
	GB 1533647	A	19781129	GB 1976-50633	19761203
	AT 7609077	A	19800115	AT 1976-9077	19761207
	AT 358013	B	19800811		
	DK 7605506	A	19770610	DK 1976-5506	19761208
	SE 7613808	A	19770610	SE 1976-13808	19761208
	CS 199283	P	19800731	CS 1976-8014	19761208
	RO 71276	P	19821026	RO 1976-88674	19761208
	BE 849231	A1	19770609	BE 1976-173108	19761209
	NL 7613696	A	19770613	NL 1976-13696	19761209
	JP 52073834	A2	19770621	JP 1976-148275	19761209
	FR 2334347	A1	19770708	FR 1976-37076	19761209
	FR 2334347	B1	19801031		
	DD 128354	C	19771116	DD 1976-196223	19761209
	ES 454073	A1	19780216	ES 1976-454073	19761209
	PL 109985	B1	19800630	PL 1976-194269	19761209
PRAI	US 1975-639018	A	19751209		

AB P-[[(aryloxy)alkyl]amino]benzoic acids, 4-R1OQNHC6H4CO2R [I; R = H; R1 = Ph, 4-BrC6H4, 4-ClC6H4, 4-FC6H4, 2,4-Cl2C6H3, 1- and 2-naphthyl, 2-thienyl; Q = (CH2)2-4, (CH2)6, (CH2)11, CHMeCH2] and their Et esters (I, R = Et), which, when added to feed (0.05-0.1 weight%), lowered the blood serum cholesterol and triglyceride levels in rats by ≤24 and ≤53%, resp., were prepared Thus, PhOH with Br(CH2)4Br and 4-H2NC6H4CO2Et in NaH-(Me2N)3PO gave I [R = Et, R1 = Ph, Q = (CH2)4], which was hydrolyzed to the free acid (I, R = H), which, at 0.1% in feed, lowered blood serum cholesterol level by 4% and triglyceride level by 53% in rats.

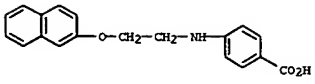
IT 63649-89-8P 63650-09-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); BIOL (Biological study); PREP (Preparation)
(manufacture and hypolipidemic activity of)

RN 63649-89-8 CAPLUS
CN Benzoic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

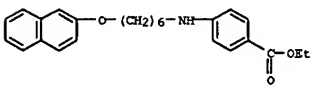


RN 63650-09-9 CAPLUS
CN Benzoic acid, 4-[[2-(2-naphthalenyloxy)ethyl]amino]- (9CI) (CA INDEX NAME)

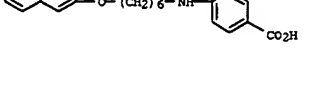


IT 63649-86-5P 63649-87-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 63649-86-5 CAPLUS
CN Benzoic acid, 4-[[6-(2-naphthalenyloxy)hexyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 63649-87-6 CAPLUS
CN Benzoic acid, 4-[[6-(2-naphthalenyloxy)hexyl]amino]- (9CI) (CA INDEX NAME)



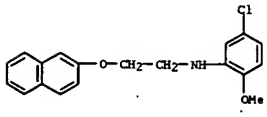
L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1967:46196 CAPLUS
DN 66:46196
TI N-Monohydroxyalkylated tertiary aminobenzenes
PA Kalle A.-G.
SO Neth. Appl., 17 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI NL 6605722		19661109		
DE 1493901			DE	
FR 1479000			FR	
GB 1148076			GB	
US 3489801		19700000	US	
PRAI DE		19650508		

AB The title compds. (I) are prepared from secondary aminobenzenes by reaction at ≥90° with excess alkylene oxide in a sufficient amount of a low aliphatic alc. for dissolving the secondary aminobenzenes. This conversion needs a long reaction time. Temperature is limited to 140° because of the possible polymerization of the alkylene oxide. Pressures of 5-15 atmospheric are favorable. Thus, 1-N-β-hydroxyethyl-β-phenoxyethyl)amino-2-methoxy-5-chlorobenzene (II) is obtained from 1-N-β-phenoxyethyl)amino-2-methoxy-5-chlorobenzene (III). 4-Chloro-2-aminoanisole (314 g.) is suspended in 100 cc. H2O containing 1 g. Na diisobutylnaphthalenesulfonate. The mixture is boiled with stirring, 241 g. β-bromoethyl phenyl ether is added dropwise in 3 equal portions over 1.5 hrs. for each portion, and the mixture heated 3 hrs. after addition of each portion. After all the ether is added the mixture is heated 15 hrs. The hot mixture is poured in 800 cc. 50% AcOH for keeping in solution the excess starting base. III is separated as an oily product which crystallizes by cooling, m. 83° (MeOH). III (70 g.) is heated at 100° in an autoclave with 350 cc. MeOH and 125 cc. ethylene oxide during 6 hrs. The initial pressure of 5 atmospheric by N blowing rises to 15 atmospheric The solvent is evaporated and the residue distilled in vacuo to yield II, b. 217-18°/2-2.5 mm. Other I prepared are (b.p./mm. given): 1-N-(β-hydroxyethyl-β-phenylthioethyl)amino-2-methoxy-5-chlorobenzene, 223-4°/1.5; 1-N-(hydroxyethylbenzyl)amino-2,5-diethoxybenzene, 204-7°/0.8; 1-N-(hydroxyethylbenzyl)-amino-2-hydroxyethoxy-5-chlorobenzene, 243-6°/0.8; 1-N-(hydroxyethylbenzyl)amino-2,5-dimethoxybenzene, 205-8°/0.8; 1-N-(hydroxyethylbenzyl)amino-2-methoxy-5-chlorobenzene, 208-12°/0.8), 1-N-(hydroxyethylbenzyl)amino-2-ethoxybenzene, 181-4°/0.8; 1-N-(hydroxyethylcyclohexyl)aminobenzene, 173-5°/0.8 (m. 64-7°); 1-N-(β-hydroxypropylbenzyl)amino-2-ethoxybenzene, 174-6°/0.7; 1-N-(β-hydroxypropylbenzyl)-amino-2-methoxy-5-chlorobenzene, 204-6°/0.8; 1-N-(β-hydroxypropylbenzyl)amino-2,5-diethoxybenzene, 207-9°/0.8; m. 55-8°; 1-N-(hydroxyethylbenzyl)amino-2-phenoxybenzene, 208-12°/07; 1-N-(hydroxyethylbenzyl)amino-2-methoxy-5-methylbenzene, 182-3°/0.7; 1-N-(hydroxyethyl-4-methoxybenzyl)amino-2-ethoxybenzene, 212-17°/0.8; 1-N-(hydroxyethylcyclohexyl)amino-2-

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylbenzene, 159-62°/0.8; 1-N-(hydroxyethyl-2'-chlorobenzyl)amino-2-methoxybenzene, 197-201/0.8, N-(hydroxyethylbenzyl)aminobenzene, -; 1-N-(hydroxyethylbenzyl)amino-2-methoxy-5-bromobenzene, 209-11°/0.75, 1-N-(hydroxybutylbenyl)amino-2,5-dimethoxybenzene, 209-13°/0.85; 1-N-(hydroxybutylbenzyl)amino-2,5-diethoxybenzene, 206-9°/0.9, and 1-N-(hydroxybutyl-2'-chlorobenzyl)amino-2-methoxybenzene, 193-6°/0.8. I is important for the prepn. of azo dyes.

IT 14211-45-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14211-45-1 CAPLUS
CN o-Anisidine, 5-chloro-N-[2-(2-naphthyloxy)ethyl]- (8CI) (CA INDEX NAME)



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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
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  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

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=> d 1-5 bib abs
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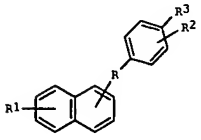
L25 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:857549 CAPLUS
DN 141:349919
TI Preparation of mercapto-phenyl-naphthyl-methane derivatives and preparation thereof
IN Sangita; Kumar, Atul; Singh, Man Mohan; Jain, Girish Kumar; Murthy, Puvvada Sri Ramchandra; Ray, Suprabhat
PA Council of Scientific and Industrial Research, India
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004087644	A1	20041014	WO 2003-IB6247	20031223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004229869	A1	20041118	US 2004-809845	20040326
PRAI US 2003-458401P	P	20030331		

OS MARPAT 141:349919
GI



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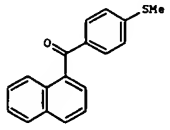


II

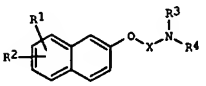
AB Title compds. I [R = CO, CH2, CHOR4, wherein R4 = H, COR5, wherein R5 = alkyl or haloalkyl; R1 and R2 independently = H, OH, alkyl, alkyloxy, alkyloxycarbonyl; R3 = substituted mercapto], as well as their pharmaceutically acceptable salts, are prepared and disclosed as useful for the treatment of diseases or syndromes related to estrogen deficiency. Thus, e.g., II was prepd via reaction of 1-naphthoic acid with thioanisol. Pharmaceutical compns. of I are also disclosed. I should be useful for treatment of medical indications associated with estrogen dependent diseases or syndromes related to osteoporosis, bone loss, bone formation, cardiovascular disorders, neurodegenerative disorders, menopausal disorders, physiol. disorders, diabetes disorders, prostatic carcinoma, cancer of breast, cancer of uterus, cancer of the cervix and cancer of the colon, threatened or habitual abortion, obesity, ovarian development or

L25 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
function, post-partum lactation and depression. In assays for evaluating antiosteoporosis activity, II possessed T/C ratio or 0.4-0.8 at 25μM to 100 μM concns.
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:802559 CAPLUS
DN 141:295752
TI A preparation of (aminoalkoxy)naphthalene derivatives, useful as antidiabetic agents
IN Chaturvedi, Devdutt; Kumar, Atul; Rastogi, Reema; Srivastava, Arvind; Tewari, Priti; Ahmad, Rehan; Chander, Ramesh; Puri, Anju; Bhatia, Geetika; Rizvi, Farhan; Rastogi, Anil Kumar; Ray, Suprabhat
PA Council of Scientific & Industrial Research, India
SO U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004192688	A1	20040930	US 2003-693098	20031027
PRAI US 2003-458413P	P	20030331		

OS CASREACT 141:295752; MARPAT 141:295752
GI



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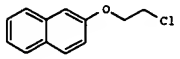
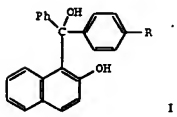


II

AB The invention relates to a preparation of (aminoalkoxy)naphthalene derivs. of
formula I [wherein: R1 and R2 are independently selected from H or (cyclo)alkyl; R3 and R4 are independently selected from H, (cyclo)alkyl, or (hetero)aryl, etc.; X is (CH2)1-6], useful as antihyperglycemic agents and for the treatment and prevention of cardiovascular disorders (CVS) such as lipid lowering effects. The prepared naphthalene derivs. were screened for antidiabetic, hypoglycemic, and lipid lowering activities. For instance, prepared 2-(naphthyloxy)-1-chloroethane derivative (II) decreased glucose load by 33.6%. Treatment with compound II lowered the plasma levels of cholesterol, phospholipid, and triglyceride by 26%, 33%, and 28%, resp.

L25 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:151239 CAPLUS
DN 140:315258
TI Diaryl naphthyl methanes a novel class of anti-implantation agents
AU Srivastava, Neeta; Sangita; Ray, S.; Singh, M. M.; Dwivedi, Anila; Kumar, Atul
CS Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow (UP), 226 001, India
SO Bioorganic & Medicinal Chemistry (2004), 12(5), 1011-1021
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB Diaryl naphthyl methanes and the corresponding 1, 2, 3, 4- and 5, 6, 7, 8-tetrahydro naphthyl methane derivs. have been synthesized as novel estrogen receptor binding ligands. The secondary and tertiary amino alkoxy derivs. of diaryl naphthyl and tetrahydro naphthyl methane interact with the estrogen receptor to elicit promising estrogenic, antiestrogenic and implantation inhibition activities in rats. The most active compds. in this series are 7, 9 and 20, cent percent active in preventing implantation in rats at 2.5 mg kg-1 dose.
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1994:244294 CAPLUS
DN 120:244294
TI Synthesis of diaryl(naphthyl)methane derivatives as possible antifertility agents
AU Grover, Arvinder; Ray, Suprabhat
CS Div. Med. Chem., Central Drug Res. Inst., Lucknow, 226 001, India
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1994), 33B(3), 243-6
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
GI



I

AB Grignard reactions of 2-hydroxynaphthophenone with aryl bromides p-RC6H4Br (R = H, PhCH2O, tetrahydropyranyloxy) afforded diaryl(naphthyl)methane derivs. I. The I were converted into naphthyl O-acetyl and O-alkyl derivs. I and their derivs. were found to be inactive in tests for antiimplantation activity in rats.

L25 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1971:87756 CAPLUS
DN 74:87756
TI Antifertility agents. V. Synthesis of 2,2-dimethyl-3,4-diphenyl-5,6-benzochromenes and 1,1-dimethyl-2,3-diphenylphenalenes
AU Ray, Suprabhat; Grover, P. K.; Anand, Nitya
CS Cent. Drug Res. Inst., Lucknow, India
SO Indian Journal of Chemistry (1970), 8(11), 961-3
CODEN: IJOCAP; ISSN: 0019-5103
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB 2,2-Dimethyl-3-phenyl-4-[p-(β-pyr-rolidinoethoxy)phenyl]-5,6-benzochromene was prepared from 3-phenyl-4-(p-methoxyphenyl)-5,6-benzocoumarin. The inter-mediate 2-methyl-3-phenyl-4-(p-methoxyphenyl)-4-(2-hydroxy-1-naphthyl)-3-buten-2-ol (I) on treatment with pyridine-HCl or EtOH-HCl gave II and III; treatment of I with silica gel gave 2,2-dimethyl-3-phenyl-4-(p-methoxyphenyl)-5,6-benzochromene.

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